



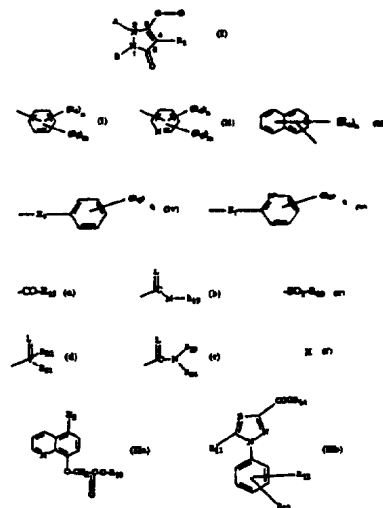
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## (54) Title: HERBICIDAL COMPOSITIONS

## (57) Abstract

Selective herbicidal compositions for controlling grasses and weeds in crops of cultivated plants, comprising: a) a herbicidally effective amount of a compound of formula (I), wherein R<sub>1</sub> is the (i), (ii) or (iii) group; the substituents R<sub>4</sub> are each independently of one another halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>haloalkyl, C<sub>1</sub>-C<sub>10</sub>alkoxy, C<sub>1</sub>-C<sub>4</sub>haloalkoxy, C<sub>3</sub>-C<sub>6</sub>alkenyloxy, C<sub>1</sub>-C<sub>4</sub>alkoxy-C<sub>2</sub>-C<sub>4</sub>alkoxy, C<sub>3</sub>-C<sub>6</sub>alkynyloxy, C<sub>1</sub>-C<sub>4</sub>alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>1</sub>-C<sub>4</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>alkylsulfonyl, amino, C<sub>1</sub>-C<sub>4</sub>alkylamino or di-C<sub>1</sub>-C<sub>4</sub>alkylamino; R<sub>5</sub> is the (iv) or (v) group; n is 0, 1, 2, 3 or 4; m is 0 or 1, the sum of m and n being 0, 1, 2, 3 or 4; q is 0, 1, 2 or 3; X<sub>1</sub> is oxygen, sulfur, -CH<sub>2</sub>- or -N(R<sub>7</sub>)-; the substituents R<sub>6</sub> are each independently of one another C<sub>1</sub>-C<sub>4</sub>alkyl, halogen, C<sub>1</sub>-C<sub>4</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>4</sub>haloalkoxy, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl, amino, C<sub>1</sub>-C<sub>4</sub>alkylamino or di-C<sub>1</sub>-C<sub>4</sub>alkylamino; R<sub>7</sub> is hydrogen, C<sub>1</sub>-C<sub>4</sub>alkyl, formyl or C<sub>1</sub>-C<sub>4</sub>alkylcarbonyl; A and B are each independently of one another hydrogen, alkyl, alkenyl, alkynyl, alkoxyalkyl, alkylthioalkyl or cycloalkyl, or substituted or unsubstituted aryl; or A and B, taken together, form the divalent radical of a saturated or unsaturated and unsubstituted or substituted mono-, bi-, tri- or polycyclic system; G is hydrogen or a group -CO-R<sub>18</sub> (a), (b), -SO<sub>2</sub>-R<sub>20</sub> (c), (d), (e) or X (f); L and M are each independently of the other oxygen or sulfur; R<sub>18</sub> is halogen-substituted alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, polyalkoxyalkyl or cycloalkyl, which may contain hetero atoms; unsubstituted or substituted phenyl, unsubstituted or substituted phenylalkyl, substituted heteroaryl, substituted phenoxyalkyl, or substituted heteroaryloxyalkyl; R<sub>19</sub> is halogen-substituted alkyl, alkenyl, alkoxyalkyl or polyalkoxyalkyl, or unsubstituted or substituted phenyl or benzyl; R<sub>20</sub>, R<sub>21</sub> and R<sub>22</sub> are each independently of one another unsubstituted or halogen-substituted alkyl, alkoxy, alkylamino, dialkylamino, alkylthio, alkenylthio, alkynylthio or cycloalkylthio, or unsubstituted or substituted phenyl, phenoxy or phenylthio; R<sub>23</sub> and R<sub>24</sub> are each independently of the other hydrogen, unsubstituted or halogen-substituted alkyl, alkenyl, alkoxy or alkoxyalkyl, unsubstituted or substituted phenyl or benzyl; or R<sub>23</sub> and R<sub>24</sub>, taken together, form an alkenyl radical, which may contain oxygen as hetero atom; and X is a metal ion equivalent or an ammonium ion; as well as salts and diastereoisomers of the compounds of formula (I); and b) to antagonise the herbicide, an antidotally effective amount of either a quinoline derivative of formula (IIa), wherein R<sub>10</sub> is hydrogen, C<sub>1</sub>-C<sub>8</sub>alkyl, or C<sub>1</sub>-C<sub>8</sub>alkyl which is substituted by C<sub>1</sub>-C<sub>6</sub>alkoxy or C<sub>3</sub>-C<sub>6</sub>alkenyloxy; and X<sub>2</sub> is hydrogen or chloro; of a 1-phenylazole-3-carboxylic acid derivative of formula (IIb), wherein E is nitrogen or methine; R<sub>11</sub> is -CCl<sub>3</sub> or unsubstituted or halogen-substituted phenyl; R<sub>12</sub> and R<sub>13</sub> are each independently of the other hydrogen or halogen; and R<sub>14</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl, are particularly suitable for controlling weeds in crops of cultivated plants, in particular maize and cereals.



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### Herbicidal compositions

The present invention relates to a selective herbicidal composition for controlling grasses and weeds in crops of cultivated plants, especially in crops of maize and cereals, which composition comprises a herbicide and a safener (antidote) and protects the cultivated plants, but not the weeds, from the phytotoxic action of the herbicide, and to the use of said composition for controlling weeds in crops of cultivated plants.

When applying herbicides, the cultivated plants may also suffer severe damage owing to factors that include the concentration of the herbicide and the mode of application, the cultivated plant itself, the nature of the soil, and the climatic conditions such as exposure to light, temperature and rainfall.

To counteract this problem and similar ones, the proposal has already been made to use different compounds as safeners which are able to antagonise the harmful action of the herbicide on the cultivated plant, i.e. to protect the cultivated plant while leaving the herbicidal action on the weeds to be controlled virtually unimpaired. It has, however, been found that the proposed safeners often have a very specific action, not only with respect to the cultivated plants but also to the herbicide, and in some cases also subject to the mode of application, i.e. a specific safener will often be suitable only for a specific cultivated plant and a specific class of herbicide or a specific herbicide. Thus, for example, EP-A-0 094 349 discloses quinoline derivatives that protect cultivated plants from the phytotoxic action of herbicides of specific substance classes including chloroacetanilides, phenoxypropionate herbicides, ureas, triazines, carbamates or diphenyl ethers. EP-A-0 558 448 discloses 1,5-diphenylpyrazole-3-carboxylic acid derivatives for protecting cultivated plants from the phytotoxic action of sulfonyl ureas.

It has now been found that the safeners selected from the two compound classes of the quinoline derivatives and 1-phenylazole-3-carboxylic acid derivatives are suitable for protecting cultivated plants from the phytotoxic action of 3-hydroxy-4-aryl-5-oxopyrazoline derivatives.

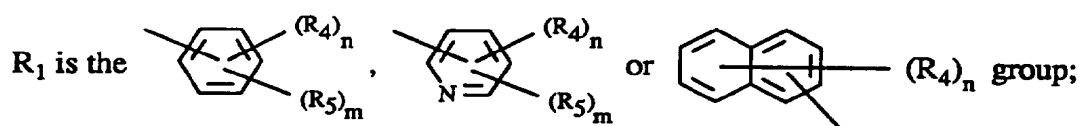
Accordingly, the invention provides a selective herbicidal composition comprising, in addition to customary inert formulation assistants such as carriers, solvents and wetting agents, a mixture of

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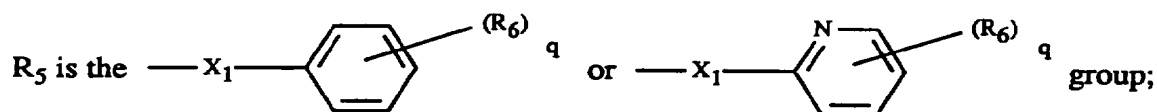
a) a herbicidally effective amount of a herbicide of formula I



wherein



the substituents  $R_4$  are each independently of one another halogen, nitro, cyano,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ haloalkyl,  $C_1$ - $C_{10}$ alkoxy,  $C_1$ - $C_4$ haloalkoxy,  $C_3$ - $C_6$ alkenyloxy,  $C_1$ - $C_4$ alkoxy- $C_2$ - $C_4$ alkoxy,  $C_3$ - $C_6$ alkynyloxy,  $C_1$ - $C_4$ alkylcarbonyl,  $C_1$ - $C_4$ alkoxycarbonyl,  $C_1$ - $C_4$ alkylthio,  $C_1$ - $C_4$ alkylsulfinyl,  $C_1$ - $C_4$ alkylsulfonyl, amino,  $C_1$ - $C_4$ alkylamino or di- $C_1$ - $C_4$ alkylamino;



$n$  is 0, 1, 2, 3 or 4;

$m$  is 0 or 1, the sum of  $m$  and  $n$  being 0, 1, 2, 3 or 4;

$q$  is 0, 1, 2 or 3;

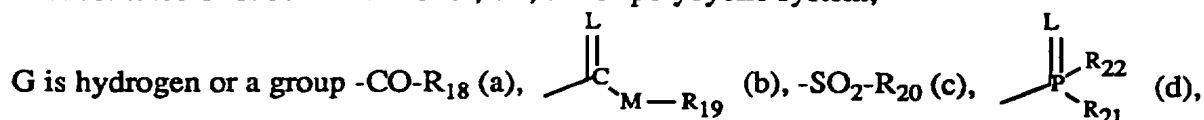
$X_1$  is oxygen, sulfur,  $-CH_2-$  or  $-N(R_7)-$ ;

the substituents  $R_6$  are each independently of one another  $C_1$ - $C_4$ alkyl, halogen,  $C_1$ - $C_4$ haloalkyl,  $C_1$ - $C_4$ alkoxy,  $C_1$ - $C_4$ haloalkoxy, nitro, cyano,  $C_1$ - $C_4$ alkoxycarbonyl, amino,  $C_1$ - $C_4$ alkylamino or di- $C_1$ - $C_4$ alkylamino;

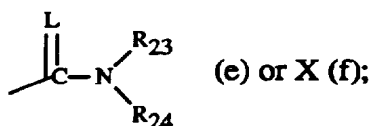
$R_7$  is hydrogen,  $C_1$ - $C_4$ alkyl, formyl or  $C_1$ - $C_4$ alkylcarbonyl;

$A$  and  $B$  are each independently of the other hydrogen, alkyl, alkenyl, alkynyl, alkoxyalkyl, alkylthioalkyl or cycloalkyl, or substituted or unsubstituted aryl; or

$A$  and  $B$ , taken together, form the divalent radical of a saturated or unsaturated and unsubstituted or substituted mono-, bi-, tri- or polycyclic system;



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L and M are each independently of the other oxygen or sulfur;

R<sub>18</sub> is halogen-substituted alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, polyalkoxyalkyl or cycloalkyl, which may contain hetero atoms; unsubstituted or substituted phenyl, unsubstituted or substituted phenylalkyl, substituted heteroaryl, substituted phenoxyalkyl, or substituted heteroaryloxyalkyl;

R<sub>19</sub> is halogen-substituted alkyl, alkenyl, alkoxyalkyl or polyalkoxyalkyl, or unsubstituted or substituted phenyl or benzyl;

R<sub>20</sub>, R<sub>21</sub> and R<sub>22</sub> are each independently of one another unsubstituted or halogen-substituted alkyl, alkoxy, alkylamino, dialkylamino, alkylthio, alkenylthio, alkynylthio or cycloalkylthio, or unsubstituted or substituted phenyl, phenoxy or phenylthio;

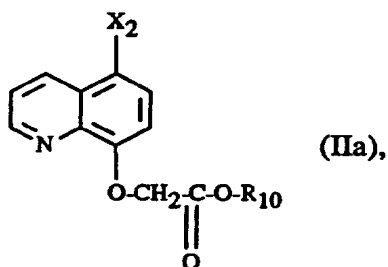
R<sub>23</sub> and R<sub>24</sub> are each independently of the other hydrogen, unsubstituted or halogen-substituted alkyl, alkenyl, alkoxy or alkoxyalkyl, unsubstituted or substituted phenyl or benzyl; or

R<sub>23</sub> and R<sub>24</sub>, taken together, form an alkenyl radical, which may contain oxygen as hetero atom; and

X is a metal ion equivalent or an ammonium ion;

as well as salts and diastereoisomers of the compounds of formula I; and

b) to antagonise the herbicide, an antidotally effective amount of either a quinoline derivative of formula IIa



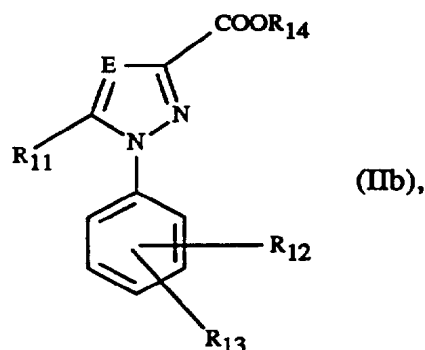
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wherein

$R_{10}$  is hydrogen,  $C_1$ - $C_8$ alkyl, or  $C_1$ - $C_8$ alkyl which is substituted by  $C_1$ - $C_6$ alkoxy or  $C_3$ - $C_6$ alkenyloxy; and

$X_2$  is hydrogen or chloro;

of a 1-phenylazole-3-carboxylic acid derivative of formula IIb



wherein

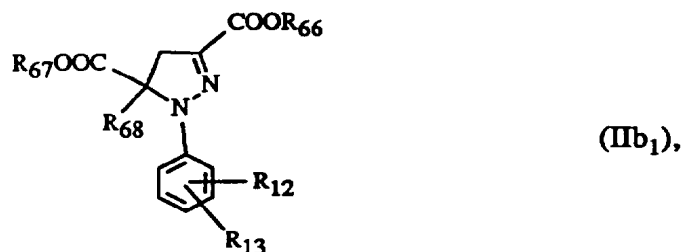
E is nitrogen or methine;

$R_{11}$  is  $-CCl_3$  or unsubstituted or halogen-substituted phenyl;

$R_{12}$  and  $R_{13}$  are each independently of the other hydrogen or halogen; and

$R_{14}$  is  $C_1$ - $C_4$ alkyl; or

of a compound of formula IIb<sub>1</sub>



wherein  $R_{12}$  and  $R_{13}$  have the meanings given above, and  $R_{66}$ ,  $R_{67}$  and  $R_{68}$  are each independently of one another  $C_1$ - $C_4$ alkyl.

The alkyl, alkenyl and alkynyl groups contain, unless specifically mentioned, preferably 1 or 2 to 8 carbon atoms and may be straight-chain or branched, as also applies to the alkyl, alkenyl and alkynyl moiety of the haloalkyl, alkoxy, haloalkoxy, alkoxyalkyl, alkoxyalkoxy, alkenyloxy, alkynyloxy, alkylcarbonyl, alkoxycarbonyl, alkylthio,

alkenylthio, alkynylthio, alkylthioalkyl, alkylsulfinyl, alkylsulfonyl, alkylamino and dialkylamino groups.

The alkyl groups occurring in the substituent definitions are typically methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl or tert-butyl, as well as the isomeric pentyls, hexyls, heptyls and octyls. The alkyl groups by themselves or as moieties of other substituents preferably contain 1 to 8 carbon atoms.

In the above definitions halogen will be taken to mean fluoro, chloro, bromo and iodo, preferably fluoro, chloro and bromo.

Alkenyl will be typically vinyl, allyl, methallyl, 1-methylvinyl or but-2-en-1-yl. Alkenyl radicals containing 2 to 8 carbon atoms in the chain are preferred. The alkenyl radicals are preferably linked via a saturated carbon atom to a hetero atom.

Alkynyl will typically be propargyl, but-2-yn-1-yl, 2-methylbutyn-2-yl, but-3-yn-2-yl and pent-4-yn-1-yl. Alkynyl radicals containing 2 to 8 carbon atoms in the chain are preferred. The alkynyl radicals are preferably linked via a saturated carbon atom to a hetero atom.

Haloalkyl radicals preferably contain 1 to 8 carbon atoms in the chain. Haloalkyl is typically fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, trichloromethyl, 2,2,2-trifluoroethyl, 2-fluoroethyl, 2-chloroethyl and 2,2,2-trichloroethyl. Trichloromethyl, difluorochloromethyl, difluoromethyl, trifluoromethyl and dichlorofluoromethyl are preferred.

Alkoxy radicals preferably contain 1 to 6 carbon atoms in the chain. Alkoxy is typically methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy and tert-butoxy as well as the pentoxy and hexyloxy isomers. Methoxy and ethoxy are preferred.

Alkylcarbonyl is preferably acetyl and propionyl.

Alkoxy carbonyl is methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, n-butoxycarbonyl, isobutoxycarbonyl, sec-butoxycarbonyl and tert-butoxycarbonyl. Methoxycarbonyl and ethoxycarbonyl are preferred.

Haloalkoxy radicals preferably contain 1 to 8 carbon atoms in the chain. Haloalkoxy is typically fluoromethoxy, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy,

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1,1,2,2-tetrafluoroethoxy, 2-fluoroethoxy, 2-chloroethoxy, 2,2-difluoroethoxy and 2,2,2-trichloroethoxy. Difluoromethoxy, 2-chloroethoxy and trifluoromethoxy are preferred.

Alkylthio radicals preferably contain 1 to 8 carbon atoms in the chain. Alkylthio is typically methylthio, ethylthio, propylthio, isopropylthio, n-butylthio, isobutylthio, sec-butylthio or tert-butylthio. Methylthio and ethylthio are preferred.

Alkylsulfinyl is methylsulfinyl, ethylsulfinyl, propylsulfinyl, isopropylsulfinyl, n-butylsulfinyl, isobutylsulfinyl, sec-butylsulfinyl, tert-butylsulfinyl. Methylsulfinyl and ethylsulfinyl are preferred.

Alkylsulfonyl is methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, n-butylsulfonyl, isobutylsulfonyl, sec-butylsulfonyl, tert-butylsulfonyl. Methylsulfonyl and ethylsulfonyl are preferred.

Alkoxyalkoxy radicals preferably contain 1 to 8 carbon atoms in the chain. Illustrative examples of alkoxyalkoxy are methoxymethoxy, methoxyethoxy, methoxypropoxy, ethoxymethoxy, ethoxyethoxy, propoxymethoxy and butoxybutoxy.

Alkylamino is typically methylamino, ethylamino, n-propylamino, isopropylamino and the isomeric butylamines.

Dialkylamino is typically dimethylamino, methylethylamino, diethylamino, n-propylmethylamino, dibutylamino and di-isopropylamino. Alkylamino radicals containing 1 to 8 carbon atoms in the chain are preferred.

Alkoxyalkyl radicals preferably contain 1 to 8 carbon atoms. Alkoxyalkyl is typically methoxymethyl, methoxyethyl, ethoxymethyl, ethoxyethyl, n-propoxymethyl, n-propoxyethyl, isopropoxymethyl and isopropoxyethyl.

Alkylthioalkyl radicals preferably contain 1 to 8 carbon atoms. Alkylthioalkyl is typically methylthiomethyl, methylthioethyl, ethylthiomethyl, ethylthioethyl, n-propylthiomethyl, n-propylthioethyl, isopropylthiomethyl, isopropylthioethyl, butylthiomethyl, butylthioethyl or butylthiobutyl.



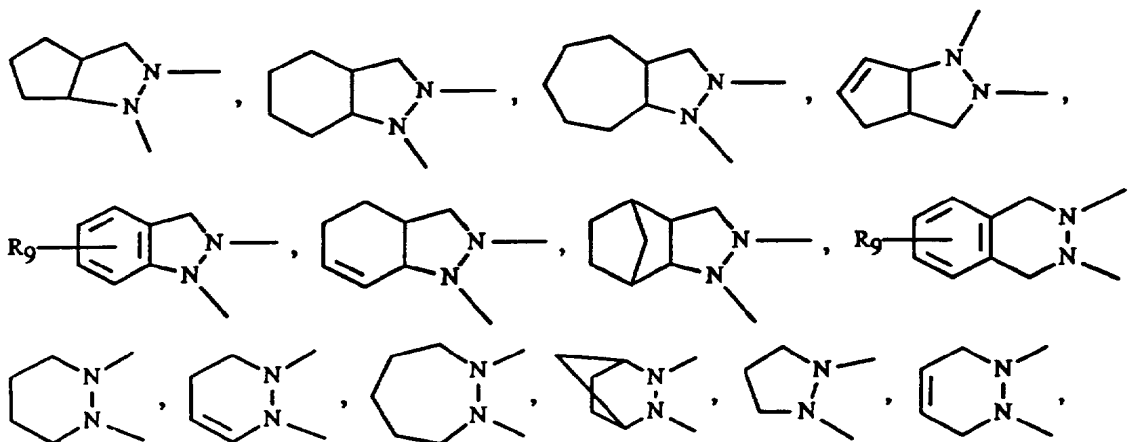
Cycloalkyl radicals preferably contain 3 to 8 ring carbon atoms, and are typically cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl and cyclooctyl. These cycloalkyl radicals may be interrupted by hetero atoms such as oxygen atoms and/or sulfur atoms and/or nitrogen atoms.

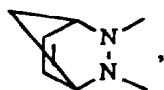
Phenyl, also as moiety of a substituent such as phenoxy, phenylthio, phenylalkyl, phenoxyalkyl, can generally be unsubstituted or substituted. The substituents may then be in ortho-, meta- and/or para-position. Preferred substituent positions are the ortho- and para-position to the ring linkage site. Preferred substituents are halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>4</sub>haloalkoxy, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>1</sub>-C<sub>4</sub>haloalkylthio, C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>haloalkyl.

A and B defined as aryl are  $\alpha$ -naphthyl or  $\beta$ -naphthyl, preferably phenyl, and these aromatic rings may carry one or more than one identical or different substituent, typically halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>4</sub>haloalkoxy, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>1</sub>-C<sub>4</sub>haloalkylthio, C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>haloalkyl.

Heteroaryl in the definition of the radical R<sub>18</sub> is preferably a five- or six-membered aromatic heterocyclic ring, typically 2-, 3- or 4-pyridyl, pyrimidyl, pyrazinyl, furanyl, thienyl, oxazolyl or isoxazolyl.

Illustrative examples of saturated or unsaturated and unsubstituted or substituted mono-, bi-, tri- or polycyclic systems which the substituents A and B, together with the two linking nitrogen atoms of the pyrazoline ring may form, are:

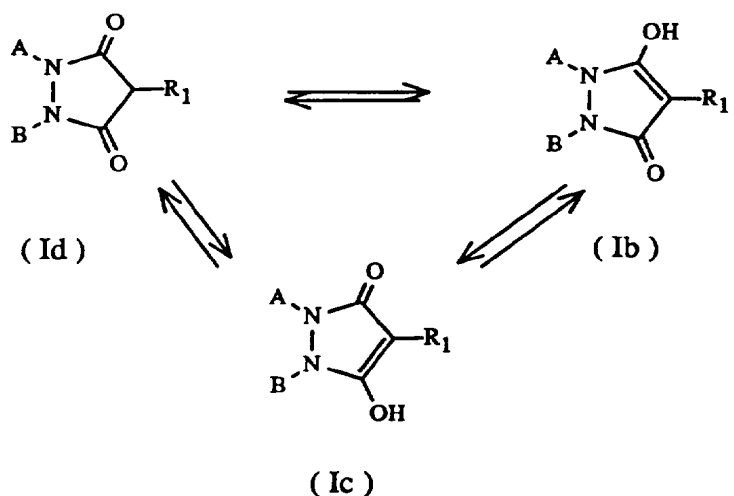




suitable substituents being halogen, unsubstituted or halogen-substituted C<sub>1</sub>-C<sub>16</sub>alkyl, C<sub>2</sub>-C<sub>16</sub>alkenyl, C<sub>1</sub>-C<sub>16</sub>alkoxy-C<sub>2</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>polyalkoxy-C<sub>2</sub>-C<sub>6</sub>alkyl, or phenyl or benzyl which are unsubstituted or substituted by halogen, nitro, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>3</sub>alkoxy, C<sub>1</sub>-C<sub>3</sub>haloalkyl; and R<sub>9</sub> is halogen, or unsubstituted or halogen-substituted C<sub>1</sub>alkoxy or C<sub>2</sub>alkoxy.

Equivalent meanings may also be obtained for the substituents of composite radicals, typically alkoxycarbonyl, alkylcarbonyl, alkylsulfinyl, alkylsulfonyl, alkenyloxy, alkynyloxy, alkenylthio, alkynylthio, cycloalkylthio and heteroaryloxyalkyl.

By reason of their chemical constitution, the compounds of formula I, wherein G is hydrogen, can be obtained in the tautomeric equilibrium forms  $Ib \rightleftharpoons Ic \rightleftharpoons Id$ :



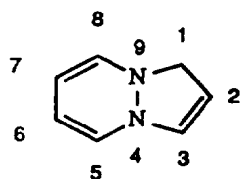
The invention also embraces the salts which the compounds of formula I may form together with acids. Suitable salts for the formation of the acid addition salts are organic as well as inorganic acids. Examples of such acids are, inter alia, hydrochloric acid, hydrobromic acid, nitric acid, various phosphoric acids, sulfuric acid, acetic acid, propionic acid, butyric acid, valeric acid, oxalic acid, malonic acid, fumaric acid, lactic acid, tartaric acid and salicylic acid.

Furthermore, specific substituents R<sub>1</sub> to R<sub>7</sub>, R<sub>18</sub> to R<sub>24</sub>, A and B, singly or in conjunction

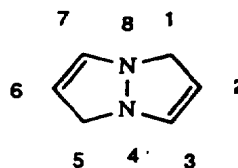
with each other or in conjunction with the basic structure to which they are linked, may have chirality. The invention embraces the racemate as well as the enriched and optically pure forms of the respective stereoisomers.

In the processes disclosed in this specification, the asymmetrically substituted compounds of formula I are usually obtained as racemates, unless chiral educts are used. The stereoisomers can then be isolated in accordance with per se known methods, such as fractionated crystallisation after salt formation with optically pure bases, acids or metal complexes, or chromatographic processes based on physicochemical properties.

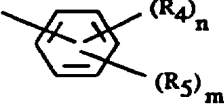
The compounds of formula I, wherein A and B are alkyl, alkenyl or alkynyl radicals, are derivatives of the pyrazolidine-3,5-dione system. Where A and B are defined as a saturated or partially unsaturated C<sub>4</sub>carbon bridge, formula I is based on the ring system of the 1H-pyrazolo[1,2-a]pyridazine, and, where R<sub>2</sub> and R<sub>3</sub> are defined as a saturated or partially unsaturated C<sub>3</sub>carbon bridge, formula I is based on the ring system of the 1H,5H-pyrazolo[1,2-a]pyrazole. The individual ring positions are numbered in accordance with Chemical Abstracts:

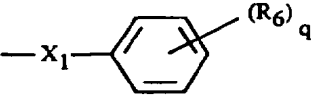


1H-pyrazolo[1,2-a]pyridazine



1H,5H-pyrazolo[1,2-a]pyrazole

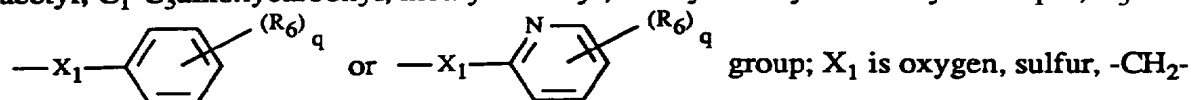
Compounds of formula I which are preferred for use in the novel composition are those wherein R<sub>1</sub> is the  group. Among these compounds, those compounds are particularly preferred wherein R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl; n is 1, 2, 3 or 4; and m is 0.

Among these compounds, those compounds are also particularly preferred wherein R<sub>5</sub> is the  group.

Particularly important compositions are those wherein R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl, trifluoromethyl, fluoro, chloro, bromo, C<sub>1</sub>-C<sub>10</sub>alkoxy, allyloxy, propargyloxy, difluoromethoxy,

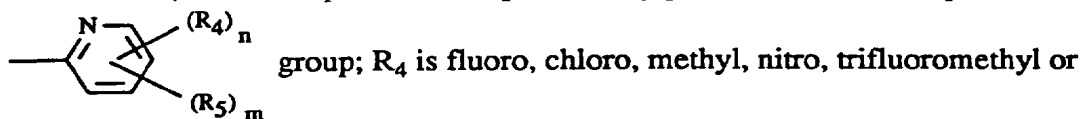
- 10 -

trifluoromethoxy, methoxyethylenoxy, cyano, nitro, di- $C_1$ alkylamino or di- $C_2$ alkylamino, acetyl,  $C_1$ - $C_3$ alkoxycarbonyl, methylsulfonyl, methylsulfinyl or methylmercapto;  $R_5$  is the



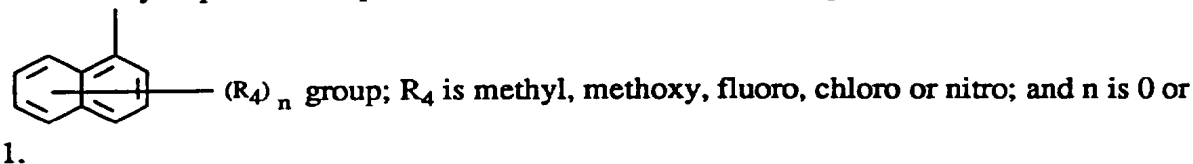
or  $-N(CHO)-$ ;  $R_6$  is fluoro, chloro or trifluoromethyl;  $n$  is 0, 1, 2 or 3;  $m$  is 0 or 1; and  $o$  is 0, 1 or 2, the sum of  $m$  and  $n$  being 0, 1, 2 or 3.

Furthermore, those compositions are particularly preferred, wherein  $R_1$  is the

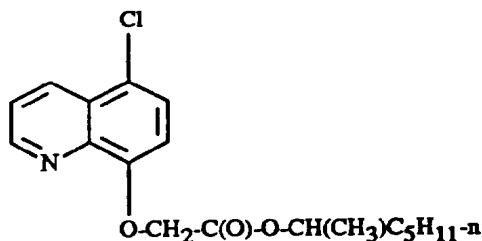


methylsulfonyl;  $n$  is 0, 1 or 2; and  $m$  is 0.

Particularly important compositions are also those, wherein  $R_1$  is the

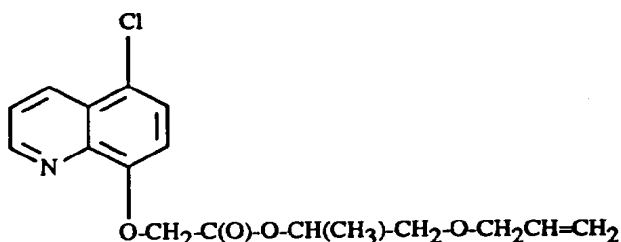


Also preferred are those compositions comprising a safener of formula IIc



(IIc).

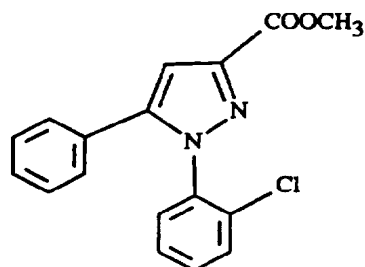
Likewise preferred are compositions comprising a safener of formula IIId



(IIId).

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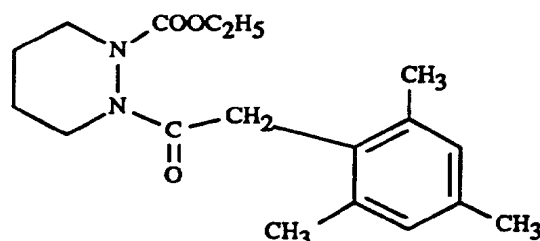
Further preferred compositions are those comprising a safener of formula IIe



(IIe).

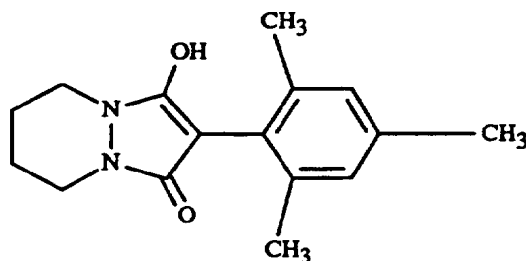
The following non-limitative Examples illustrate the invention in more detail.

Example P1: Preparation of 2-(2,4,6-trimethylphenylacetyl)-1-ethoxycarbonyl hexahydropyridazine



With stirring, 13.8 g (70 mmol) of mesitylene acetyl chloride in 100 ml of diethyl ether are added dropwise at 20-25°C to a solution of 11.0 g (70 mmol) of 1-ethoxycarbonyl hexahydropyridazine and 10.8 ml (70 mmol) of triethylamine in 350 ml of diethyl ether. Stirring is continued for a further 3 hours at room temperature. The precipitated triethylamine hydrochloride is then removed by suction filtration, and the filtrate is concentrated under vacuum and the residue is chromatographed with ethyl acetate/hexane (1:1) over silica gel, giving 20.1 g (90,5 %) of the desired title compound.

Example P2: Preparation of 2-(2,4,6-trimethylphenyl)-5,6,7,8-tetrahydro-1H-pyrazolo[1,2-a]pyridazine-1,3(2H)dione



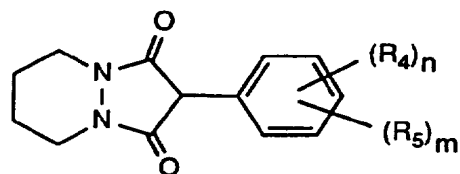
(cmpd 1.010)

3.69 g (88 mmol) of a 60 % suspension of sodium hydride in white oil are added to 75 ml of toluene. To this mixture are then added dropwise 22.3 g (70 mmol) of a solution of 2-(2,4,6-trimethylphenylacetyl)-1-ethoxycarbonyl hexahydropyridazine (Example P1) in 75 ml of toluene at room temperature and the mixture is heated for 6 hours to the boil. While cooling with ice, 10 ml of ethanol are then added dropwise, the reaction mixture is concentrated to dryness under vacuum and the residue is dissolved in 200 ml of 1N NaOH. The product is precipitated from the resultant solution by addition of concentrated hydrochloric acid at 0°C. The crude product is purified by recrystallisation from methanol to give 8.9 g of crystals of the desired title product, m.p. 244 - 246°C.

The compounds of Tables 1 to 15 and 19 can be prepared in general accordance with the above Examples and the procedures described therein.

Preferred compounds of formula I are listed in the following Tables 1-15 and 19 as compounds of formula Ia and Ie to Is.

Table 1: Compounds of formula Ia




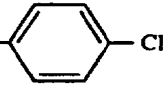
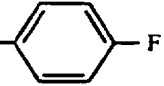
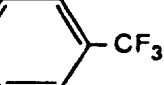
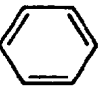

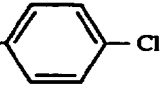
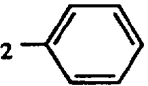
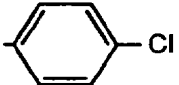
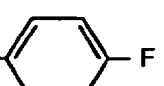
(Ia)


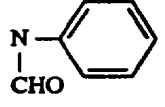
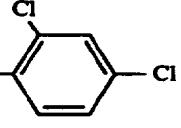
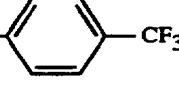
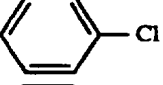

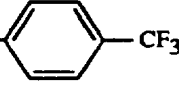
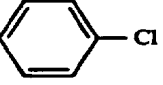
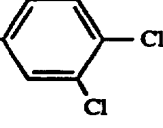
| Cmpd  | R <sub>4</sub>    | R <sub>4</sub>    | R <sub>4</sub>      | R <sub>5</sub> |
|-------|-------------------|-------------------|---------------------|----------------|
| 1.001 |                   | H                 |                     |                |
| 1.002 |                   | 2-CH <sub>3</sub> |                     |                |
| 1.003 |                   | 4-CH <sub>3</sub> |                     |                |
| 1.004 |                   | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 1.005 |                   | 2-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 1.006 |                   | 2-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 1.007 |                   | 3-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 1.008 |                   | 2-CH <sub>3</sub> | 3-CH <sub>3</sub>   |                |
| 1.009 |                   | 3-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 1.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 1.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 1.012 |                   | 2-Cl              |                     |                |
| 1.013 |                   | 4-Cl              |                     |                |
| 1.014 |                   | 2-Cl              | 4-Cl                |                |
| 1.015 |                   | 2-Cl              | 6-Cl                |                |
| 1.016 |                   | 2-Cl              | 6-F                 |                |
| 1.017 |                   | 2-CH <sub>3</sub> | 4-Cl                |                |
| 1.018 |                   | 2-CH <sub>3</sub> | 4-F                 |                |
| 1.019 |                   | 2-Cl              | 4-CH <sub>3</sub>   |                |
| 1.020 |                   | 2-Cl              | 6-CH <sub>3</sub>   |                |
| 1.021 |                   | 2-F               | 4-F                 |                |
| 1.022 |                   | 2-F               | 6-F                 |                |
| 1.023 |                   | 2-CH <sub>3</sub> | 4-O-CH <sub>3</sub> |                |
| 1.024 |                   | 2-CH <sub>3</sub> | 6-O-CH <sub>3</sub> |                |
| 1.025 |                   | 2-Cl              | 4-O-CH <sub>3</sub> |                |
| 1.026 |                   | 2-Cl              | 6-O-CH <sub>3</sub> |                |

| Cmpd  | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|----------------|---------------------|--|----------------|
| 1.027 |                | 3-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 1.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 1.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 1.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 1.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 1.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 1.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 1.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 1.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 1.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 1.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 1.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 1.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 1.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 1.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 1.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 1.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 1.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 1.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 1.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 1.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 1.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 1.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 1.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 1.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 1.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 1.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 1.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 1.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 1.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 1.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 1.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 1.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 1.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 1.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 1.062 |                | 2-O-CH <sub>3</sub> | 4-SO-CH <sub>3</sub>                             |                |



| Cmpd  | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|-----------------------------------|-----------------------------------|--|----------------|
| 1.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 1.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 1.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 1.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 1.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 1.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 1.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 1.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 1.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 1.072 |                                   | 2-Cl                              | 4-CN   |                |
| 1.073 |                                   | 2-Cl                              | 6-CN   |                |
| 1.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 1.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 1.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 1.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 1.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 1.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 1.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 1.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 1.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 1.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 1.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 1.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 1.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 1.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 1.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 1.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 1.090 | 2-F                               | 4-F                               | 6-F  |                |
| 1.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 1.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 1.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 1.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 1.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 1.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 1.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 1.098 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-O-CH <sub>3</sub>                              |                |

| Cmpd  | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|-------|---------------------|------------------------|--|---|
| 1.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 1.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 1.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 1.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 1.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 1.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 1.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 1.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 1.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 1.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 1.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 1.110 |                     |                        |  | 4 - O -                  |
| 1.111 |                     |                        |  | 4 - O -                 |
| 1.112 |                     |                        |  | 4 - O -                |
| 1.113 |                     |                        |  | 4 - O -                |
| 1.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 1.115 |                     |                        |  | 4 - S -                |
| 1.116 |                     |                        |  | 4 - S -                |
| 1.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 1.118 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 1.119 |                     |                        |  | 4 - CH <sub>2</sub> -  |

| Cmpd  | R <sub>4</sub>                  | R <sub>4</sub>                        | R <sub>4</sub>                          | R <sub>5</sub>   |
|-------|---------------------------------|---------------------------------------|---|--|
| 1.120 |                                 |                                       |   | 4-CH <sub>2</sub> -  -CF <sub>3</sub> |
| 1.121 |                                 |                                       |   | 4-                                    |
| 1.122 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -CH=CH <sub>2</sub> |  |
| 1.123 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -C≡CH               |  |
| 1.124 | 2-Br                            |                                       |   |  |
| 1.125 | 2-CF <sub>3</sub>               |                                       |   |  |
| 1.126 | 2-OCH <sub>3</sub>              |                                       |   |  |
| 1.127 | 2-CH <sub>3</sub>               |                                       |   | 4-O-                                  |
| 1.128 | 2-CH <sub>3</sub>               |                                       |   | 4-O-                                 |
| 1.129 | 2-CH <sub>3</sub>               |                                       |   | 4-O-                                |
| 1.130 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-                                |
| 1.131 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-                                |
| 1.132 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-                                |
| 1.133 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-                                |
| 1.134 | 2-CH <sub>3</sub>               | 4-Br                                  | 6-CH <sub>3</sub>                       |  |
| 1.135 | 2-CH <sub>3</sub>               | 6-C <sub>2</sub> H <sub>5</sub>       |   |  |
| 1.136 | 2-C <sub>2</sub> H <sub>5</sub> | 6-C <sub>2</sub> H <sub>5</sub>       |   |  |
| 1.137 | 2-CH <sub>3</sub>               | 4-OC <sub>2</sub> H <sub>5</sub>      | 6-CH <sub>3</sub>                       |  |
| 1.138 | 2-CH <sub>3</sub>               | 4-O-i-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |  |
| 1.139 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |  |
| 1.140 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>10</sub> H <sub>21</sub> | 6-CH <sub>3</sub>                       |  |
| 1.141 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>   |   |  |

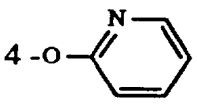
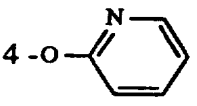
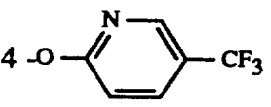
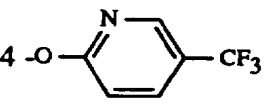
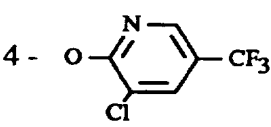
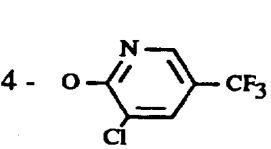
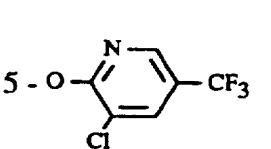
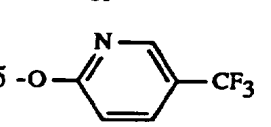
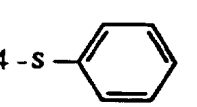
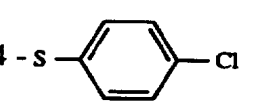
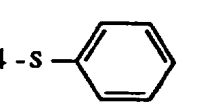
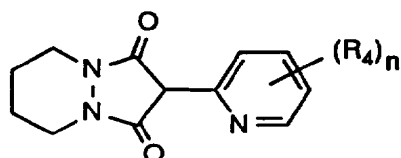
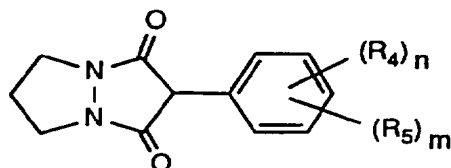
| Cmpd  | R <sub>4</sub>                      | R <sub>4</sub>                                       | R <sub>4</sub>                  | R <sub>5</sub>  |
|-------|-------------------------------------|--|---------------------------------|---|
| 1.142 | 2-CH <sub>3</sub>                   | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                                 |   |
| 1.143 | 2-CH <sub>3</sub>                   | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> | 6-CH <sub>3</sub>               |   |
| 1.144 | 2-CH <sub>3</sub>                   | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                                 |   |
| 1.145 | 2-CH <sub>3</sub>                   | 4-O-n-C <sub>6</sub> H <sub>13</sub>                 | 6-CH <sub>3</sub>               |   |
| 1.146 | 2-CH <sub>3</sub>                   |  |                                 | 4 - O -    |
| 1.147 | 2-CH <sub>3</sub>                   |  | 6-CH <sub>3</sub>               | 4 - O -    |
| 1.148 | 2-CH <sub>3</sub>                   |  |                                 | 4 - O -    |
| 1.149 | 2-CH <sub>3</sub>                   |  | 6-CH <sub>3</sub>               | 4 - O -    |
| 1.150 | 2-CH <sub>3</sub>                   |  |                                 | 4 - O -   |
| 1.151 | 2-CH <sub>3</sub>                   |  | 6-CH <sub>3</sub>               | 4 - O -  |
| 1.152 | 2-CH <sub>3</sub>                   |  |                                 | 5 - O -  |
| 1.153 | 2-CH <sub>3</sub>                   |  |                                 | 5 - O -  |
| 1.154 | 2-CH <sub>3</sub>                   |  | 6-CH <sub>3</sub>               | 4 - s -  |
| 1.155 | 2-CH <sub>3</sub>                   |  | 6-CH <sub>3</sub>               | 4 - s -  |
| 1.156 | 2-C <sub>2</sub> H <sub>5</sub>     |  | 6-CH <sub>3</sub>               | 4 - s -  |
| 1.157 | 2-C <sub>2</sub> H <sub>5</sub>     | 4-C <sub>2</sub> H <sub>5</sub>                      | 6-C <sub>2</sub> H <sub>5</sub> |   |
| 1.158 | 2-C <sub>2</sub> H <sub>5</sub>     |  |                                 |   |
| 1.159 | 2-CH(CH <sub>3</sub> ) <sub>2</sub> |  |                                 |   |

Table 2: Compounds of formula Ie

(Ie)

| Cmpd  | R <sub>4</sub>    | R <sub>4</sub>                     |
|-------|-------------------|------------------------------------|
| 2.001 | 3-Cl              |                                    |
| 2.002 | 3-F               |                                    |
| 2.003 | 3-CH <sub>3</sub> |                                    |
| 2.004 | 5-Cl              |                                    |
| 2.005 | 5-CF <sub>3</sub> |                                    |
| 2.006 | 3-Cl              | 5-Cl                               |
| 2.007 | 3-Cl              | 5-F                                |
| 2.008 | 3-Cl              | 5-CF <sub>3</sub>                  |
| 2.009 | 3-Cl              | 5-NO <sub>2</sub>                  |
| 2.010 | 3-Cl              | 5-SO <sub>2</sub> -CH <sub>3</sub> |
| 2.011 | 3-F               | 5-F                                |
| 2.012 | 3-F               | 5-Cl                               |
| 2.013 | 3-F               | 5-CF <sub>3</sub>                  |
| 2.014 | 3-NO <sub>2</sub> | 5-NO <sub>2</sub>                  |
| 2.015 | 3-NO <sub>2</sub> | 5-Cl                               |
| 2.016 | 3-NO <sub>2</sub> | 5-CF <sub>3</sub>                  |
| 2.017 | 3-CF <sub>3</sub> | 5-Cl                               |
| 2.018 | 3-CF <sub>3</sub> | 5-CF <sub>3</sub>                  |
| 2.019 | 3-CH <sub>3</sub> | 5-CH <sub>3</sub>                  |

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Table 3: Compounds of formula If


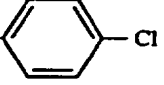
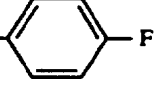
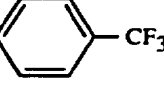


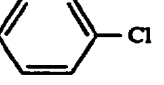

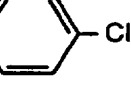
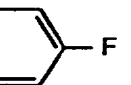
(If)

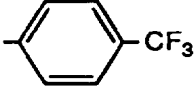
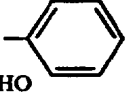
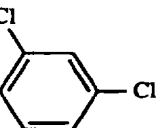
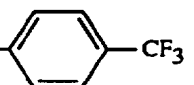
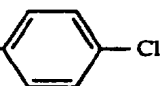
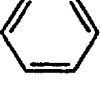
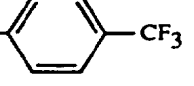
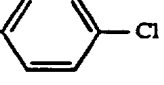
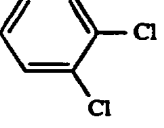
| Cmpd  | R <sub>4</sub>    | R <sub>4</sub>    | R <sub>4</sub>      | R <sub>5</sub> |
|-------|-------------------|-------------------|---------------------|----------------|
| 3.001 |                   | H                 |                     |                |
| 3.002 |                   | 2-CH <sub>3</sub> |                     |                |
| 3.003 |                   | 4-CH <sub>3</sub> |                     |                |
| 3.004 |                   | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 3.005 |                   | 2-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 3.006 |                   | 2-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 3.007 |                   | 3-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 3.008 |                   | 2-CH <sub>3</sub> | 3-CH <sub>3</sub>   |                |
| 3.009 |                   | 3-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 3.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 3.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 3.012 |                   | 2-Cl              |                     |                |
| 3.013 |                   | 4-Cl              |                     |                |
| 3.014 |                   | 2-Cl              | 4-Cl                |                |
| 3.015 |                   | 2-Cl              | 6-Cl                |                |
| 3.016 |                   | 2-Cl              | 6-F                 |                |
| 3.017 |                   | 2-CH <sub>3</sub> | 4-Cl                |                |
| 3.018 |                   | 2-CH <sub>3</sub> | 4-F                 |                |
| 3.019 |                   | 2-Cl              | 4-CH <sub>3</sub>   |                |
| 3.020 |                   | 2-Cl              | 6-CH <sub>3</sub>   |                |
| 3.021 |                   | 2-F               | 4-F                 |                |
| 3.022 |                   | 2-F               | 6-F                 |                |
| 3.023 |                   | 2-CH <sub>3</sub> | 4-O-CH <sub>3</sub> |                |
| 3.024 |                   | 2-CH <sub>3</sub> | 6-O-CH <sub>3</sub> |                |
| 3.025 |                   | 2-Cl              | 4-O-CH <sub>3</sub> |                |
| 3.026 |                   | 2-Cl              | 6-O-CH <sub>3</sub> |                |

| Cmpd  | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|----------------|---------------------|--|----------------|
| 3.027 |                | 3-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 3.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 3.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 3.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 3.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 3.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 3.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 3.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 3.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 3.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 3.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 3.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 3.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 3.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 3.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 3.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 3.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 3.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 3.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 3.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 3.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 3.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 3.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 3.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 3.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 3.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 3.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 3.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 3.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 3.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 3.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 3.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 3.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 3.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 3.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 3.062 |                | 2-O-CH <sub>3</sub> | 4-SO-CH <sub>3</sub>                             |                |

| Cmpd  | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|-----------------------------------|-----------------------------------|--|----------------|
| 3.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 3.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 3.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 3.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 3.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 3.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 3.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 3.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 3.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 3.072 |                                   | 2-Cl                              | 4-CN   |                |
| 3.073 |                                   | 2-Cl                              | 6-CN   |                |
| 3.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 3.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 3.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 3.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 3.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 3.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 3.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 3.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 3.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 3.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 3.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 3.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 3.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 3.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 3.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 3.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 3.090 | 2-F                               | 4-F                               | 6-F  |                |
| 3.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 3.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 3.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 3.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 3.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 3.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 3.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 3.098 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-O-CH <sub>3</sub>                              |                |



| Cmpd  | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|-------|---------------------|------------------------|--|---|
| 3.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 3.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 3.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 3.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 3.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 3.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 3.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 3.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 3.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 3.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 3.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 3.110 |                     |                        |  | 4 - O -                  |
| 3.111 |                     |                        |  | 4 - O -                 |
| 3.112 |                     |                        |  | 4 - O -                |
| 3.113 |                     |                        |  | 4 - O -                |
| 3.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 3.115 |                     |                        |  | 4 - S -                |
| 3.116 |                     |                        |  | 4 - S -                |
| 3.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 3.118 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 3.119 |                     |                        |  | 4 - CH <sub>2</sub> -  |

| Cmpd  | R <sub>4</sub>                  | R <sub>4</sub>                        | R <sub>4</sub>                          | R <sub>5</sub>  |
|-------|---------------------------------|---------------------------------------|---|---|
| 3.120 |                                 |                                       |   | 4-CH <sub>2</sub> -  |
| 3.121 |                                 |                                       |   | 4 -                  |
| 3.122 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -CH=CH <sub>2</sub> |   |
| 3.123 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -C≡CH               |   |
| 3.124 | 2-Br                            |                                       |   |   |
| 3.125 | 2-CF <sub>3</sub>               |                                       |   |   |
| 3.126 | 2-OCH <sub>3</sub>              |                                       |   |   |
| 3.127 | 2-CH <sub>3</sub>               |                                       |   | 4 - O -              |
| 3.128 | 2-CH <sub>3</sub>               |                                       |   | 4 - O -             |
| 3.129 | 2-CH <sub>3</sub>               |                                       |   | 4 - O -            |
| 3.130 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4 - O -            |
| 3.131 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4 - O -            |
| 3.132 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4 - O -            |
| 3.133 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4 - O -            |
| 3.134 | 2-CH <sub>3</sub>               | 4-Br                                  | 6-CH <sub>3</sub>                       |   |
| 3.135 | 2-CH <sub>3</sub>               | 6-C <sub>2</sub> H <sub>5</sub>       |   |   |
| 3.136 | 2-C <sub>2</sub> H <sub>5</sub> | 6-C <sub>2</sub> H <sub>5</sub>       |   |   |
| 3.137 | 2-CH <sub>3</sub>               | 4-OC <sub>2</sub> H <sub>5</sub>      | 6-CH <sub>3</sub>                       |   |
| 3.138 | 2-CH <sub>3</sub>               | 4-O-i-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |   |
| 3.139 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |   |
| 3.140 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>10</sub> H <sub>21</sub> | 6-CH <sub>3</sub>                       |   |

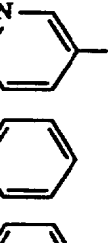
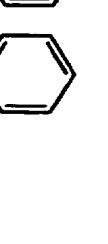

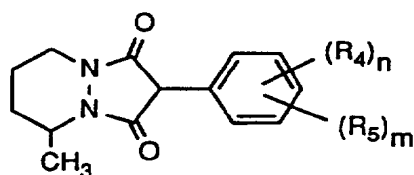
| Cmpd  | R <sub>4</sub>                  | R <sub>4</sub>                                       | R <sub>4</sub>    | R <sub>5</sub>  |
|-------|---------------------------------|--|-------------------|---|
| 3.141 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>                  |                   |   |
| 3.142 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                   |   |
| 3.143 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> | 6-CH <sub>3</sub> |   |
| 3.144 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                   |   |
| 3.145 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>6</sub> H <sub>13</sub>                 | 6-CH <sub>3</sub> |   |
| 3.146 | 2-CH <sub>3</sub>               |  |                   | 4 - O -  |
| 3.147 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4 - O -  |
| 3.148 | 2-CH <sub>3</sub>               |  |                   | 4 - O -  |
| 3.149 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4 - O -  |
| 3.150 | 2-CH <sub>3</sub>               |  |                   | 4 - O -  |
| 3.151 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4 - O -  |
| 3.152 | 2-CH <sub>3</sub>               |  |                   | 5 - O -  |
| 3.153 | 2-CH <sub>3</sub>               |  |                   | 5 - O -  |
| 3.154 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4 - s -  |
| 3.155 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4 - s -  |
| 3.156 | 2-C <sub>2</sub> H <sub>5</sub> |  | 6-CH <sub>3</sub> | 4 - s -  |

Table 4: Compounds of formula Ig

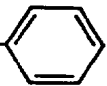
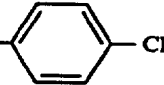
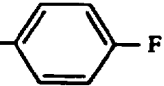
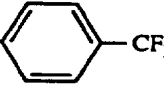


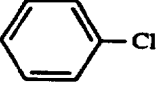
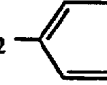
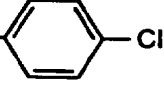
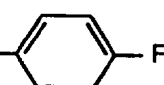
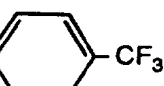


(Ig)

| Cmpd  | R <sub>4</sub>    | R <sub>4</sub>     | R <sub>4</sub>      | R <sub>5</sub> |
|-------|-------------------|--------------------|---------------------|----------------|
| 4.001 |                   | H                  |                     |                |
| 4.002 |                   | 2-CH <sub>3</sub>  |                     |                |
| 4.003 |                   | 4-CH <sub>3</sub>  |                     |                |
| 4.004 |                   | 2-CH <sub>3</sub>  | 4-CH <sub>3</sub>   |                |
| 4.005 |                   | 2-CH <sub>3</sub>  | 6-CH <sub>3</sub>   |                |
| 4.006 |                   | 2-CH <sub>3</sub>  | 5-CH <sub>3</sub>   |                |
| 4.007 |                   | 3-CH <sub>3</sub>  | 5-CH <sub>3</sub>   |                |
| 4.008 |                   | 2-CH <sub>3</sub>  | 3-CH <sub>3</sub>   |                |
| 4.009 |                   | 3-CH <sub>3</sub>  | 4-CH <sub>3</sub>   |                |
| 4.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>  | 6-CH <sub>3</sub>   |                |
| 4.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>  | 5-CH <sub>3</sub>   |                |
| 4.012 |                   | 2-Cl               |                     |                |
| 4.013 |                   | 4-Cl               |                     |                |
| 4.014 |                   | 2-Cl               | 4-Cl                |                |
| 4.015 |                   | 2-Cl               | 6-Cl                |                |
| 4.016 |                   | 2-Cl               | 6-F                 |                |
| 4.017 |                   | 2-CH <sub>3</sub>  | 4-Cl                |                |
| 4.018 |                   | 2-CH <sub>3</sub>  | 4-F                 |                |
| 4.019 |                   | 2-Cl               | 4-CH <sub>3</sub>   |                |
| 4.020 |                   | 2-Cl               | 6-CH <sub>3</sub>   |                |
| 4.021 |                   | 2-F                | 4-F                 |                |
| 4.022 |                   | 2-F                | 6-F                 |                |
| 4.023 |                   | 2-CH <sub>3</sub>  | 4-O-CH <sub>3</sub> |                |
| 4.024 |                   | 2-CH <sub>3</sub>  | 6-O-CH <sub>3</sub> |                |
| 4.025 |                   | 2-Cl               | 4-O-CH <sub>3</sub> |                |
| 4.026 |                   | 2-Cl               | 6-O-CH <sub>3</sub> |                |
| 4.027 |                   | 3-OCH <sub>3</sub> | 4-OCH <sub>3</sub>  |                |

| Cmpd  | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|----------------|---------------------|--|----------------|
| 4.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 4.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 4.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 4.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 4.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 4.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 4.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 4.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 4.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 4.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 4.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 4.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 4.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 4.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 4.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 4.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 4.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 4.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 4.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 4.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 4.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 4.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 4.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 4.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 4.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 4.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 4.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 4.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 4.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 4.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 4.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 4.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 4.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 4.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 4.062 |                | 2-O-CH <sub>3</sub> | 4-SO-CH <sub>3</sub>                             |                |
| 4.063 |                | 2-O-CH <sub>3</sub> | 4-S-CH <sub>3</sub>                              |                |

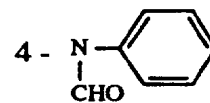
| Cmpd  | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|-----------------------------------|-----------------------------------|--|----------------|
| 4.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 4.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 4.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 4.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 4.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 4.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 4.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 4.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 4.072 |                                   | 2-Cl                              | 4-CN   |                |
| 4.073 |                                   | 2-Cl                              | 6-CN   |                |
| 4.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 4.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 4.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 4.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 4.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 4.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 4.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 4.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 4.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 4.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 4.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 4.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 4.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 4.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 4.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 4.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 4.090 | 2-F                               | 4-F                               | 6-F  |                |
| 4.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 4.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 4.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 4.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 4.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 4.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 4.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 4.098 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-O-CH <sub>3</sub>                              |                |
| 4.099 | 2-O-CH <sub>3</sub>               | 4-CO-O-CH <sub>3</sub>            | 6-O-CH <sub>3</sub>                              |                |

| Cmpd  | R <sub>4</sub>    | R <sub>4</sub>    | R <sub>4</sub>                         | R <sub>5</sub>  |
|-------|-------------------|-------------------|--|---|
| 4.100 | 2-CH <sub>3</sub> | 4-Cl              | 6-CH <sub>3</sub>                      |   |
| 4.101 | 2-CH <sub>3</sub> | 4-F               | 6-CH <sub>3</sub>                      |   |
| 4.102 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 4.103 | 2-F               | 4-Cl              | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 4.104 | 2-Cl              | 4-Cl              | 5-O-CH <sub>3</sub>                    |   |
| 4.105 |                   | 4-Cl              | 5-O-CH <sub>3</sub>                    |   |
| 4.106 | 2-F               | 4-Cl              | 5-CO-O-CH <sub>3</sub>                 |   |
| 4.107 | 2-F               | 4-Cl              | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 4.108 |                   | 4-Cl              | 5-CO-O-CH <sub>3</sub>                 |   |
| 4.109 | 2-Cl              | 4-Cl              | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 4.110 |                   |                   |  | 4 - O -                  |
| 4.111 |                   |                   |  | 4 - O -                  |
| 4.112 |                   |                   |  | 4 - O -                |
| 4.113 |                   |                   |  | 4 - O -                |
| 4.114 | 2-CH <sub>3</sub> |                   |  | 4 - O -                |
| 4.115 |                   |                   |  | 4 - S -                |
| 4.116 |                   |                   |  | 4 - S -                |
| 4.117 |                   |                   |  | 4 - CH <sub>2</sub> -  |
| 4.118 |                   |                   |  | 4 - CH <sub>2</sub> -  |
| 4.119 |                   |                   |  | 4 - CH <sub>2</sub> -  |
| 4.120 |                   |                   |  | 4 - CH <sub>2</sub> -  |

| Cmpd | R <sub>4</sub> | R <sub>4</sub> | R <sub>4</sub> | R <sub>5</sub> |
|------|----------------|----------------|----------------|----------------|
|------|----------------|----------------|----------------|----------------|

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4.121



4.122

2-F

4-Cl

5-O-CH<sub>2</sub>-CH=CH<sub>2</sub>

4.123

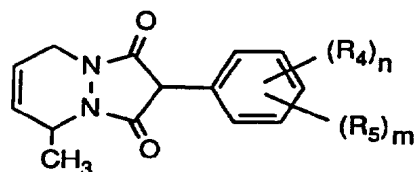
2-F

4-Cl

5-O-CH<sub>2</sub>-C≡CH



Table 5: Compounds of formula Ih



(Ih)

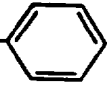
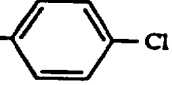
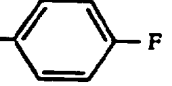
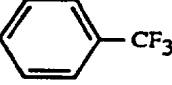

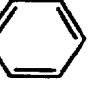
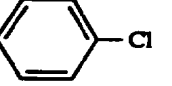
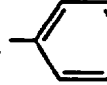
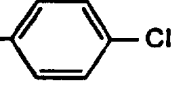
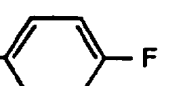
| Cpmd  | R <sub>4</sub>    | R <sub>4</sub>    | R <sub>4</sub>      | R <sub>5</sub> |
|-------|-------------------|-------------------|---------------------|----------------|
| 5.001 |                   | H                 |                     |                |
| 5.002 |                   | 2-CH <sub>3</sub> |                     |                |
| 5.003 |                   | 4-CH <sub>3</sub> |                     |                |
| 5.004 |                   | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 5.005 |                   | 2-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 5.006 |                   | 2-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 5.007 |                   | 3-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 5.008 |                   | 2-CH <sub>3</sub> | 3-CH <sub>3</sub>   |                |
| 5.009 |                   | 3-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 5.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 5.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 5.012 |                   | 2-Cl              |                     |                |
| 5.013 |                   | 4-Cl              |                     |                |
| 5.014 |                   | 2-Cl              | 4-Cl                |                |
| 5.015 |                   | 2-Cl              | 6-Cl                |                |
| 5.016 |                   | 2-Cl              | 6-F                 |                |
| 5.017 |                   | 2-CH <sub>3</sub> | 4-Cl                |                |
| 5.018 |                   | 2-CH <sub>3</sub> | 4-F                 |                |
| 5.019 |                   | 2-Cl              | 4-CH <sub>3</sub>   |                |
| 5.020 |                   | 2-Cl              | 6-CH <sub>3</sub>   |                |
| 5.021 |                   | 2-F               | 4-F                 |                |
| 5.022 |                   | 2-F               | 6-F                 |                |
| 5.023 |                   | 2-CH <sub>3</sub> | 4-O-CH <sub>3</sub> |                |
| 5.024 |                   | 2-CH <sub>3</sub> | 6-O-CH <sub>3</sub> |                |
| 5.025 |                   | 2-Cl              | 4-O-CH <sub>3</sub> |                |
| 5.026 |                   | 2-Cl              | 6-O-CH <sub>3</sub> |                |

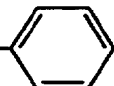
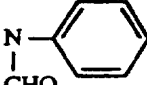
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| Cmpd  | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|----------------|---------------------|--|----------------|
| 5.027 |                | 3-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 5.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 5.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 5.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 5.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 5.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 5.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 5.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 5.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 5.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 5.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 5.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 5.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 5.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 5.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 5.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 5.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 5.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 5.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 5.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 5.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 5.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 5.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 5.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 5.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 5.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 5.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 5.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 5.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 5.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 5.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 5.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 5.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 5.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 5.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 5.062 |                | 2-O-CH <sub>3</sub> | 4-SO-CH <sub>3</sub>                             |                |

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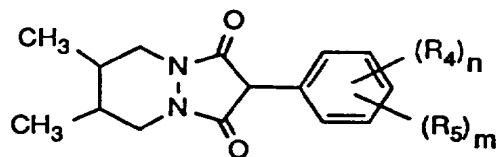
| Cmpd  | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|-----------------------------------|-----------------------------------|--|----------------|
| 5.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 5.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 5.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 5.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 5.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 5.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 5.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 5.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 5.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 5.072 |                                   | 2-Cl                              | 4-CN   |                |
| 5.073 |                                   | 2-Cl                              | 6-CN   |                |
| 5.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 5.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 5.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 5.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 5.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 5.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 5.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 5.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 5.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 5.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 5.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 5.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 5.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 5.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 5.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 5.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 5.090 | 2-F                               | 4-F                               | 6-F  |                |
| 5.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 5.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 5.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 5.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 5.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 5.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 5.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 5.098 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-O-CH <sub>3</sub>                              |                |

| Cmpd  | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|-------|---------------------|------------------------|--|---|
| 5.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 5.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 5.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 5.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 5.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 5.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 5.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 5.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 5.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 5.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 5.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 5.110 |                     |                        |  | 4 - O -                  |
| 5.111 |                     |                        |  | 4 - O -                 |
| 5.112 |                     |                        |  | 4 - O -                |
| 5.113 |                     |                        |  | 4 - O -                |
| 5.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 5.115 |                     |                        |  | 4 - S -                |
| 5.116 |                     |                        |  | 4 - S -                |
| 5.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 5.118 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 5.119 |                     |                        |  | 4 - CH <sub>2</sub> -  |

| Cmpd  | R <sub>4</sub> | R <sub>4</sub> | R <sub>4</sub>                          | R <sub>5</sub>  |
|-------|----------------|----------------|---|---|
| 5.120 |                |                |   | 4 CH <sub>2</sub> -  - CF <sub>3</sub> |
| 5.121 |                |                |   | 4 -                                    |
| 5.122 | 2-F            | 4-Cl           | 5-O-CH <sub>2</sub> -CH=CH <sub>2</sub> |   |
| 5.123 | 2-F            | 4-Cl           | 5-O-CH <sub>2</sub> -C≡CH               |   |

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Table 6: Compounds of formula Ii



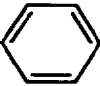
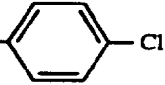
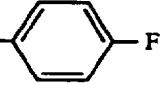
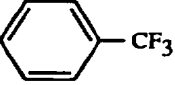

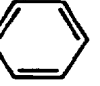
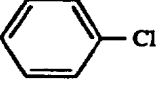

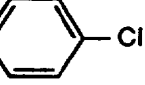
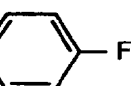
(Ii)

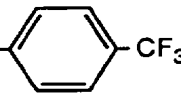
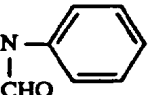
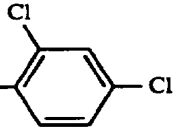
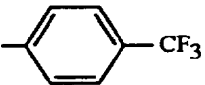
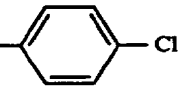
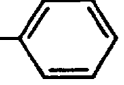
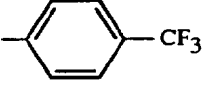
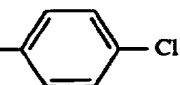
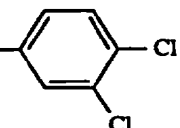
| Cmpd  | R <sub>4</sub>    | R <sub>4</sub>     | R <sub>4</sub>      | R <sub>5</sub> |
|-------|-------------------|--------------------|---------------------|----------------|
| 6.001 |                   | H                  |                     |                |
| 6.002 |                   | 2-CH <sub>3</sub>  |                     |                |
| 6.003 |                   | 4-CH <sub>3</sub>  |                     |                |
| 6.004 |                   | 2-CH <sub>3</sub>  | 4-CH <sub>3</sub>   |                |
| 6.005 |                   | 2-CH <sub>3</sub>  | 6-CH <sub>3</sub>   |                |
| 6.006 |                   | 2-CH <sub>3</sub>  | 5-CH <sub>3</sub>   |                |
| 6.007 |                   | 3-CH <sub>3</sub>  | 5-CH <sub>3</sub>   |                |
| 6.008 |                   | 2-CH <sub>3</sub>  | 3-CH <sub>3</sub>   |                |
| 6.009 |                   | 3-CH <sub>3</sub>  | 4-CH <sub>3</sub>   |                |
| 6.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>  | 6-CH <sub>3</sub>   |                |
| 6.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>  | 5-CH <sub>3</sub>   |                |
| 6.012 |                   | 2-Cl               |                     |                |
| 6.013 |                   | 4-Cl               |                     |                |
| 6.014 |                   | 2-Cl               | 4-Cl                |                |
| 6.015 |                   | 2-Cl               | 6-Cl                |                |
| 6.016 |                   | 2-Cl               | 6-F                 |                |
| 6.017 |                   | 2-CH <sub>3</sub>  | 4-Cl                |                |
| 6.018 |                   | 2-CH <sub>3</sub>  | 4-F                 |                |
| 6.019 |                   | 2-Cl               | 4-CH <sub>3</sub>   |                |
| 6.020 |                   | 2-Cl               | 6-CH <sub>3</sub>   |                |
| 6.021 |                   | 2-F                | 4-F                 |                |
| 6.022 |                   | 2-F                | 6-F                 |                |
| 6.023 |                   | 2-CH <sub>3</sub>  | 4-O-CH <sub>3</sub> |                |
| 6.024 |                   | 2-CH <sub>3</sub>  | 6-O-CH <sub>3</sub> |                |
| 6.025 |                   | 2-Cl               | 4-O-CH <sub>3</sub> |                |
| 6.026 |                   | 2-Cl               | 6-O-CH <sub>3</sub> |                |
| 6.027 |                   | 3-OCH <sub>3</sub> | 4-OCH <sub>3</sub>  |                |

| Cmpd  | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|----------------|---------------------|--|----------------|
| 6.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 6.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 6.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 6.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 6.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 6.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 6.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 6.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 6.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 6.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 6.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 6.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 6.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 6.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 6.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 6.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 6.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 6.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 6.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 6.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 6.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 6.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 6.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 6.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 6.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 6.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 6.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 6.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 6.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 6.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 6.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 6.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 6.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 6.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 6.062 |                | 2-O-CH <sub>3</sub> | 4-SO-CH <sub>3</sub>                             |                |

| Cmpd  | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|-----------------------------------|-----------------------------------|--|----------------|
| 6.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 6.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 6.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 6.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 6.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 6.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 6.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 6.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 6.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 6.072 |                                   | 2-Cl                              | 4-CN   |                |
| 6.073 |                                   | 2-Cl                              | 6-CN   |                |
| 6.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 6.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 6.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 6.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 6.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 6.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 6.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 6.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 6.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 6.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 6.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 6.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 6.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 6.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 6.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 6.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 6.090 | 2-F                               | 4-F                               | 6-F  |                |
| 6.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 6.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 6.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 6.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 6.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 6.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 6.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 6.098 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-O-CH <sub>3</sub>                              |                |



| Cmpd  | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|-------|---------------------|------------------------|--|---|
| 6.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 6.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 6.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 6.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 6.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 6.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 6.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 6.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 6.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 6.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 6.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 6.110 |                     |                        |  | 4 - O -                  |
| 6.111 |                     |                        |  | 4 - O -                 |
| 6.112 |                     |                        |  | 4 - O -                |
| 6.113 |                     |                        |  | 4 - O -                |
| 6.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 6.115 |                     |                        |  | 4 - S -                |
| 6.116 |                     |                        |  | 4 - S -                |
| 6.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 6.118 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 6.119 |                     |                        |  | 4 - CH <sub>2</sub> -  |

| Cmpd  | R <sub>4</sub>                  | R <sub>4</sub>                        | R <sub>4</sub>                          | R <sub>5</sub>  |
|-------|---------------------------------|---------------------------------------|---|---|
| 6.120 |                                 |                                       |   | 4-CH <sub>2</sub> -  |
| 6.121 |                                 |                                       |   | 4-                   |
| 6.122 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -CH=CH <sub>2</sub> |   |
| 6.123 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -C≡CH               |   |
| 6.124 | 2-Br                            |                                       |   |   |
| 6.125 | 2-CF <sub>3</sub>               |                                       |   |   |
| 6.126 | 2-OCH <sub>3</sub>              |                                       |   |   |
| 6.127 | 2-CH <sub>3</sub>               |                                       |   | 4-O-                 |
| 6.128 | 2-CH <sub>3</sub>               |                                       |   | 4-O-                |
| 6.129 | 2-CH <sub>3</sub>               |                                       |   | 4-O-               |
| 6.130 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 6.131 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 6.132 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 6.133 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 6.134 | 2-CH <sub>3</sub>               | 4-Br                                  | 6-CH <sub>3</sub>                       |   |
| 6.135 | 2-CH <sub>3</sub>               | 6-C <sub>2</sub> H <sub>5</sub>       |   |   |
| 6.136 | 2-C <sub>2</sub> H <sub>5</sub> | 6-C <sub>2</sub> H <sub>5</sub>       |   |   |
| 6.137 | 2-CH <sub>3</sub>               | 4-OC <sub>2</sub> H <sub>5</sub>      | 6-CH <sub>3</sub>                       |   |
| 6.138 | 2-CH <sub>3</sub>               | 4-O-i-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |   |
| 6.139 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |   |
| 6.140 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>10</sub> H <sub>21</sub> | 6-CH <sub>3</sub>                       |   |

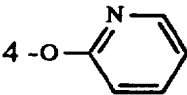
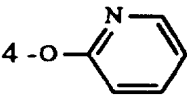
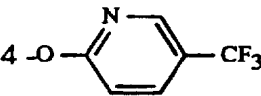
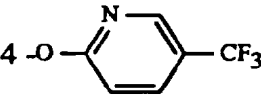
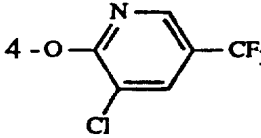
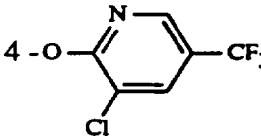
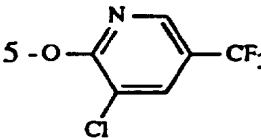
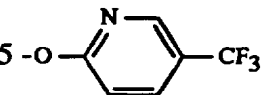
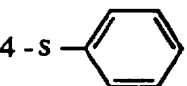
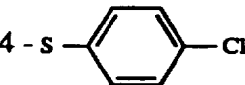
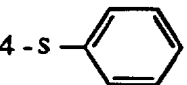
| Cmpd  | R <sub>4</sub>                  | R <sub>4</sub>                                       | R <sub>4</sub>    | R <sub>5</sub>  |
|-------|---------------------------------|--|-------------------|---|
| 6.141 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>                  |                   |   |
| 6.142 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                   |   |
| 6.143 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> | 6-CH <sub>3</sub> |   |
| 6.144 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                   |   |
| 6.145 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>6</sub> H <sub>13</sub>                 | 6-CH <sub>3</sub> |   |
| 6.146 | 2-CH <sub>3</sub>               |  |                   |    |
| 6.147 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> |    |
| 6.148 | 2-CH <sub>3</sub>               |  |                   |    |
| 6.149 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> |   |
| 6.150 | 2-CH <sub>3</sub>               |  |                   |  |
| 6.151 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> |  |
| 6.152 | 2-CH <sub>3</sub>               |  |                   |  |
| 6.153 | 2-CH <sub>3</sub>               |  |                   |  |
| 6.154 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> |  |
| 6.155 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> |  |
| 6.156 | 2-C <sub>2</sub> H <sub>5</sub> |  | 6-CH <sub>3</sub> |  |


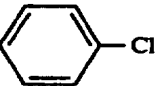
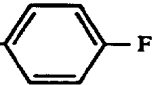
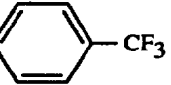


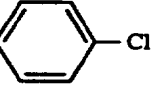
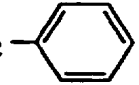
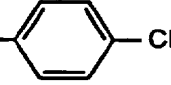
Table 7: Compounds of formula Ij

(Ij)

| Cmpd  | R <sub>4</sub>    | R <sub>4</sub>     | R <sub>4</sub>      | R <sub>5</sub> |
|-------|-------------------|--------------------|---------------------|----------------|
| 7.001 |                   | H                  |                     |                |
| 7.002 |                   | 2-CH <sub>3</sub>  |                     |                |
| 7.003 |                   | 4-CH <sub>3</sub>  |                     |                |
| 7.004 |                   | 2-CH <sub>3</sub>  | 4-CH <sub>3</sub>   |                |
| 7.005 |                   | 2-CH <sub>3</sub>  | 6-CH <sub>3</sub>   |                |
| 7.006 |                   | 2-CH <sub>3</sub>  | 5-CH <sub>3</sub>   |                |
| 7.007 |                   | 3-CH <sub>3</sub>  | 5-CH <sub>3</sub>   |                |
| 7.008 |                   | 2-CH <sub>3</sub>  | 3-CH <sub>3</sub>   |                |
| 7.009 |                   | 3-CH <sub>3</sub>  | 4-CH <sub>3</sub>   |                |
| 7.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>  | 6-CH <sub>3</sub>   |                |
| 7.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>  | 5-CH <sub>3</sub>   |                |
| 7.012 |                   | 2-Cl               |                     |                |
| 7.013 |                   | 4-Cl               |                     |                |
| 7.014 |                   | 2-Cl               | 4-Cl                |                |
| 7.015 |                   | 2-Cl               | 6-Cl                |                |
| 7.016 |                   | 2-Cl               | 6-F                 |                |
| 7.017 |                   | 2-CH <sub>3</sub>  | 4-Cl                |                |
| 7.018 |                   | 2-CH <sub>3</sub>  | 4-F                 |                |
| 7.019 |                   | 2-Cl               | 4-CH <sub>3</sub>   |                |
| 7.020 |                   | 2-Cl               | 6-CH <sub>3</sub>   |                |
| 7.021 |                   | 2-F                | 4-F                 |                |
| 7.022 |                   | 2-F                | 6-F                 |                |
| 7.023 |                   | 2-CH <sub>3</sub>  | 4-O-CH <sub>3</sub> |                |
| 7.024 |                   | 2-CH <sub>3</sub>  | 6-O-CH <sub>3</sub> |                |
| 7.025 |                   | 2-Cl               | 4-O-CH <sub>3</sub> |                |
| 7.026 |                   | 2-Cl               | 6-O-CH <sub>3</sub> |                |
| 7.027 |                   | 3-OCH <sub>3</sub> | 4-OCH <sub>3</sub>  |                |

| Cmpd  | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|----------------|---------------------|--|----------------|
| 7.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 7.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 7.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 7.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 7.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 7.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 7.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 7.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 7.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 7.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 7.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 7.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 7.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 7.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 7.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 7.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 7.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 7.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 7.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 7.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 7.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 7.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 7.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 7.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 7.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 7.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 7.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 7.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 7.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 7.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 7.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 7.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 7.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 7.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 7.062 |                | 2-O-CH <sub>3</sub> | 4-SO-CH <sub>3</sub>                             |                |

| Cmpd  | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|-----------------------------------|-----------------------------------|--|----------------|
| 7.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 7.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 7.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 7.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 7.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 7.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 7.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 7.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 7.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 7.072 |                                   | 2-Cl                              | 4-CN   |                |
| 7.073 |                                   | 2-Cl                              | 6-CN   |                |
| 7.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 7.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 7.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 7.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 7.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 7.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 7.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 7.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 7.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 7.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 7.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 7.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 7.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 7.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 7.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 7.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 7.090 | 2-F                               | 4-F                               | 6-F  |                |
| 7.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 7.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 7.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 7.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 7.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 7.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 7.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |

| Cmpd  | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|-------|---------------------|------------------------|--|---|
| 7.098 | 2-O-CH <sub>3</sub> | 4-O-CH <sub>3</sub>    | 6-O-CH <sub>3</sub>                    |   |
| 7.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 7.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 7.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 7.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 7.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 7.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 7.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 7.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 7.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 7.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 7.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 7.110 |                     |                        |  | 4 - O -                 |
| 7.111 |                     |                        |  | 4 - O -                |
| 7.112 |                     |                        |  | 4 - O -                |
| 7.113 |                     |                        |  | 4 - O -                |
| 7.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 7.115 |                     |                        |  | 4 - S -                |
| 7.116 |                     |                        |  | 4 - S -                |
| 7.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 7.118 |                     |                        |  | 4 - CH <sub>2</sub> -  |

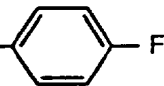
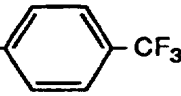
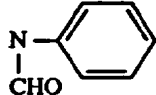
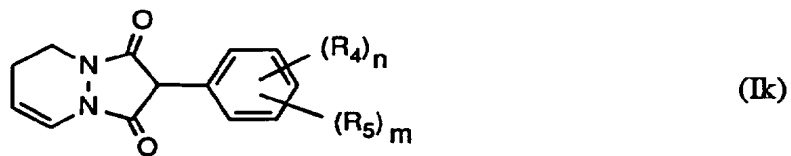
| Cmpd  | R <sub>4</sub> | R <sub>4</sub> | R <sub>4</sub>                          | R <sub>5</sub>   |
|-------|----------------|----------------|---|--|
| 7.119 |                |                |   | 4 -CH <sub>2</sub> -  |
| 7.120 |                |                |   | 4 -CH <sub>2</sub> -  |
| 7.121 |                |                |   | 4 -                   |
| 7.122 | 2-F            | 4-Cl           | 5-O-CH <sub>2</sub> -CH=CH <sub>2</sub> |  |
| 7.123 | 2-F            | 4-Cl           | 5-O-CH <sub>2</sub> -C≡CH               |  |

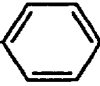
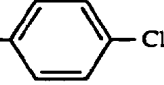
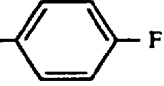
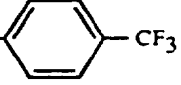
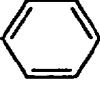

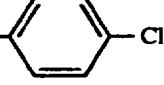

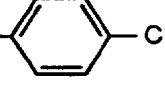
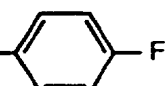


Table 8: Compounds of formula Ik

| Cmpd  | R <sub>4</sub>    | R <sub>4</sub>    | R <sub>4</sub>      | R <sub>5</sub> |
|-------|-------------------|-------------------|---------------------|----------------|
| 8.001 |                   | H                 |                     |                |
| 8.002 |                   | 2-CH <sub>3</sub> |                     |                |
| 8.003 |                   | 4-CH <sub>3</sub> |                     |                |
| 8.004 |                   | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 8.005 |                   | 2-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 8.006 |                   | 2-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 8.007 |                   | 3-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 8.008 |                   | 2-CH <sub>3</sub> | 3-CH <sub>3</sub>   |                |
| 8.009 |                   | 3-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 8.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 8.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 8.012 |                   | 2-Cl              |                     |                |
| 8.013 |                   | 4-Cl              |                     |                |
| 8.014 |                   | 2-Cl              | 4-Cl                |                |
| 8.015 |                   | 2-Cl              | 6-Cl                |                |
| 8.016 |                   | 2-Cl              | 6-F                 |                |
| 8.017 |                   | 2-CH <sub>3</sub> | 4-Cl                |                |
| 8.018 |                   | 2-CH <sub>3</sub> | 4-F                 |                |
| 8.019 |                   | 2-Cl              | 4-CH <sub>3</sub>   |                |
| 8.020 |                   | 2-Cl              | 6-CH <sub>3</sub>   |                |
| 8.021 |                   | 2-F               | 4-F                 |                |
| 8.022 |                   | 2-F               | 6-F                 |                |
| 8.023 |                   | 2-CH <sub>3</sub> | 4-O-CH <sub>3</sub> |                |
| 8.024 |                   | 2-CH <sub>3</sub> | 6-O-CH <sub>3</sub> |                |
| 8.025 |                   | 2-Cl              | 4-O-CH <sub>3</sub> |                |
| 8.026 |                   | 2-Cl              | 6-O-CH <sub>3</sub> |                |

| Cmpd  | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|----------------|---------------------|--|----------------|
| 8.027 |                | 3-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 8.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 8.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 8.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 8.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 8.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 8.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 8.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 8.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 8.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 8.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 8.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 8.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 8.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 8.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 8.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 8.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 8.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 8.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 8.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 8.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 8.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 8.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 8.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 8.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 8.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 8.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 8.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 8.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 8.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 8.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 8.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 8.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 8.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 8.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 8.062 |                | 2-O-CH <sub>3</sub> | 4-SO-CH <sub>3</sub>                             |                |

| Cmpd  | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|-----------------------------------|-----------------------------------|--|----------------|
| 8.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 8.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 8.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 8.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 8.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 8.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 8.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 8.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 8.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 8.072 |                                   | 2-Cl                              | 4-CN   |                |
| 8.073 |                                   | 2-Cl                              | 6-CN   |                |
| 8.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 8.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 8.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 8.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 8.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 8.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 8.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 8.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 8.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 8.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 8.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 8.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 8.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 8.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 8.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 8.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 8.090 | 2-F                               | 4-F                               | 6-F  |                |
| 8.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 8.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 8.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 8.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 8.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 8.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 8.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 8.098 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-O-CH <sub>3</sub>                              |                |

| Cmpd  | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|-------|---------------------|------------------------|--|---|
| 8.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 8.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 8.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 8.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 8.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 8.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 8.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 8.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 8.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 8.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 8.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 8.110 |                     |                        |  | 4 - O -                  |
| 8.111 |                     |                        |  | 4 - O -                 |
| 8.112 |                     |                        |  | 4 - O -                |
| 8.113 |                     |                        |  | 4 - O -                |
| 8.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 8.115 |                     |                        |  | 4 - S -                |
| 8.116 |                     |                        |  | 4 - S -                |
| 8.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 8.118 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 8.119 |                     |                        |  | 4 - CH <sub>2</sub> -  |

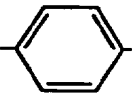
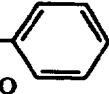
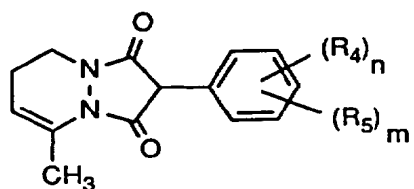
| Cmpd  | R <sub>4</sub> | R <sub>4</sub> | R <sub>4</sub>                          | R <sub>5</sub>  |
|-------|----------------|----------------|---|---|
| 8.120 |                |                |   | 4 - CH <sub>2</sub> -  - CF <sub>3</sub> |
| 8.121 |                |                |   | 4 -                                      |
| 8.122 | 2-F            | 4-Cl           | 5-O-CH <sub>2</sub> -CH=CH <sub>2</sub> |   |
| 8.123 | 2-F            | 4-Cl           | 5-O-CH <sub>2</sub> -C≡CH               |   |

Table 9: Compounds of formula II




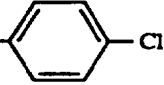
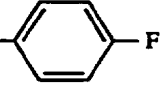
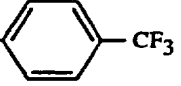


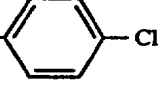
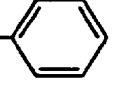
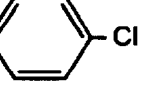
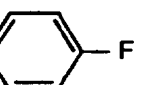
(II)

| Cmpd  | R <sub>4</sub>    | R <sub>4</sub>    | R <sub>4</sub>      | R <sub>5</sub> |
|-------|-------------------|-------------------|---------------------|----------------|
| 9.001 |                   | H                 |                     |                |
| 9.002 |                   | 2-CH <sub>3</sub> |                     |                |
| 9.003 |                   | 4-CH <sub>3</sub> |                     |                |
| 9.004 |                   | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 9.005 |                   | 2-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 9.006 |                   | 2-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 9.007 |                   | 3-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 9.008 |                   | 2-CH <sub>3</sub> | 3-CH <sub>3</sub>   |                |
| 9.009 |                   | 3-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 9.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 9.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 9.012 |                   | 2-Cl              |                     |                |
| 9.013 |                   | 4-Cl              |                     |                |
| 9.014 |                   | 2-Cl              | 4-Cl                |                |
| 9.015 |                   | 2-Cl              | 6-Cl                |                |
| 9.016 |                   | 2-Cl              | 6-F                 |                |
| 9.017 |                   | 2-CH <sub>3</sub> | 4-Cl                |                |
| 9.018 |                   | 2-CH <sub>3</sub> | 4-F                 |                |
| 9.019 |                   | 2-Cl              | 4-CH <sub>3</sub>   |                |
| 9.020 |                   | 2-Cl              | 6-CH <sub>3</sub>   |                |
| 9.021 |                   | 2-F               | 4-F                 |                |
| 9.022 |                   | 2-F               | 6-F                 |                |
| 9.023 |                   | 2-CH <sub>3</sub> | 4-O-CH <sub>3</sub> |                |
| 9.024 |                   | 2-CH <sub>3</sub> | 6-O-CH <sub>3</sub> |                |
| 9.025 |                   | 2-Cl              | 4-O-CH <sub>3</sub> |                |

| Cmpd  | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|----------------|---------------------|--|----------------|
| 9.026 |                | 2-Cl                | 6-O-CH <sub>3</sub>                              |                |
| 9.027 |                | 3-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 9.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 9.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 9.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 9.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 9.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 9.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 9.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 9.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 9.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 9.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 9.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 9.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 9.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 9.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 9.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 9.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 9.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 9.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 9.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 9.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 9.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 9.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 9.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 9.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 9.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 9.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 9.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 9.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 9.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 9.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 9.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 9.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 9.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 9.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |

| Cmpd  | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|-------|-----------------------------------|-----------------------------------|--|----------------|
| 9.062 |                                   | 2-O-CH <sub>3</sub>               | 4-SO-CH <sub>3</sub>                             |                |
| 9.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 9.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 9.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 9.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 9.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 9.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 9.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 9.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 9.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 9.072 |                                   | 2-Cl                              | 4-CN   |                |
| 9.073 |                                   | 2-Cl                              | 6-CN   |                |
| 9.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 9.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 9.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 9.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 9.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 9.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 9.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 9.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 9.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 9.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 9.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 9.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 9.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 9.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 9.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 9.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 9.090 | 2-F                               | 4-F                               | 6-F  |                |
| 9.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 9.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 9.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 9.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 9.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 9.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 9.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 9.098 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-O-CH <sub>3</sub>                              |                |



| Cmpd  | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|-------|---------------------|------------------------|--|---|
| 9.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 9.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 9.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 9.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 9.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 9.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 9.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 9.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 9.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 9.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 9.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 9.110 |                     |                        |  | 4 - O -                  |
| 9.111 |                     |                        |  | 4 - O -                 |
| 9.112 |                     |                        |  | 4 - O -                |
| 9.113 |                     |                        |  | 4 - O -                |
| 9.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 9.115 |                     |                        |  | 4 - S -                |
| 9.116 |                     |                        |  | 4 - S -                |
| 9.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 9.118 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 9.119 |                     |                        |  | 4 - CH <sub>2</sub> -  |

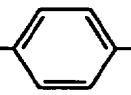
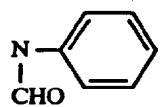
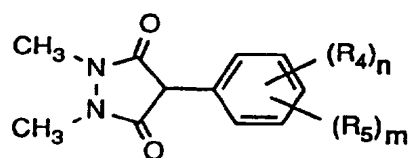
| Cmpd  | R <sub>4</sub> | R <sub>4</sub> | R <sub>4</sub>                          | R <sub>5</sub>   |
|-------|----------------|----------------|---|--|
| 9.120 |                |                |   | 4-CH <sub>2</sub> -  -CF <sub>3</sub> |
| 9.121 |                |                |   | 4 -                                   |
| 9.122 | 2-F            | 4-Cl           | 5-O-CH <sub>2</sub> -CH=CH <sub>2</sub> |  |
| 9.123 | 2-F            | 4-Cl           | 5-O-CH <sub>2</sub> -C≡CH               |  |

Table 10: Compounds of formula Im



(Im)

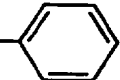
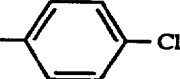
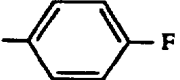
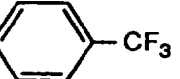

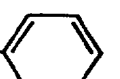
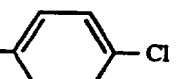
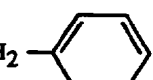
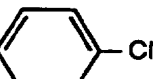
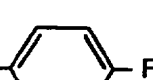
| Cmpd   | R <sub>4</sub>    | R <sub>4</sub>    | R <sub>4</sub>      | R <sub>5</sub> |
|--------|-------------------|-------------------|---------------------|----------------|
| 10.001 |                   | H                 |                     |                |
| 10.002 |                   | 2-CH <sub>3</sub> |                     |                |
| 10.003 |                   | 4-CH <sub>3</sub> |                     |                |
| 10.004 |                   | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 10.005 |                   | 2-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 10.006 |                   | 2-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 10.007 |                   | 3-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 10.008 |                   | 2-CH <sub>3</sub> | 3-CH <sub>3</sub>   |                |
| 10.009 |                   | 3-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 10.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 10.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 10.012 |                   | 2-Cl              |                     |                |
| 10.013 |                   | 4-Cl              |                     |                |
| 10.014 |                   | 2-Cl              | 4-Cl                |                |
| 10.015 |                   | 2-Cl              | 6-Cl                |                |
| 10.016 |                   | 2-Cl              | 6-F                 |                |
| 10.017 |                   | 2-CH <sub>3</sub> | 4-Cl                |                |
| 10.018 |                   | 2-CH <sub>3</sub> | 4-F                 |                |
| 10.019 |                   | 2-Cl              | 4-CH <sub>3</sub>   |                |
| 10.020 |                   | 2-Cl              | 6-CH <sub>3</sub>   |                |
| 10.021 |                   | 2-F               | 4-F                 |                |
| 10.022 |                   | 2-F               | 6-F                 |                |
| 10.023 |                   | 2-CH <sub>3</sub> | 4-O-CH <sub>3</sub> |                |
| 10.024 |                   | 2-CH <sub>3</sub> | 6-O-CH <sub>3</sub> |                |
| 10.025 |                   | 2-Cl              | 4-O-CH <sub>3</sub> |                |
| 10.026 |                   | 2-Cl              | 6-O-CH <sub>3</sub> |                |

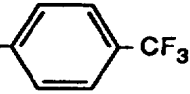
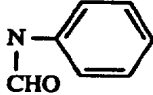
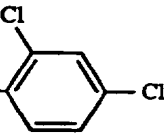
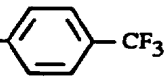
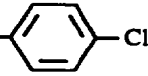

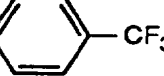
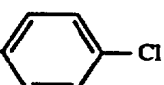
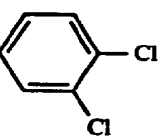
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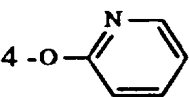
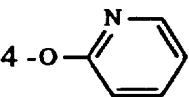
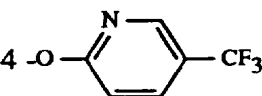
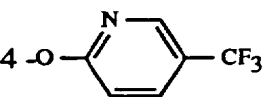
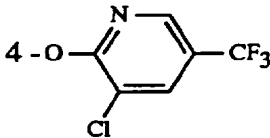
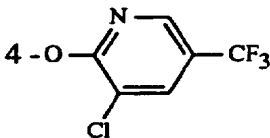
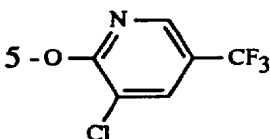
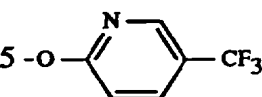
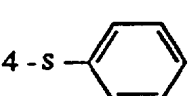
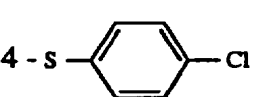
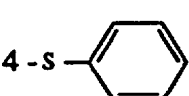
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| 10.027 |                | 3-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 10.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 10.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 10.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 10.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 10.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 10.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 10.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 10.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 10.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 10.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 10.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 10.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 10.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 10.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 10.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 10.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 10.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 10.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 10.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 10.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 10.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 10.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 10.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 10.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 10.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 10.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 10.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 10.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 10.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 10.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 10.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 10.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 10.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 10.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 10.062 |                | 2-O-CH <sub>3</sub> | 4-SO-CH <sub>3</sub>                             |                |

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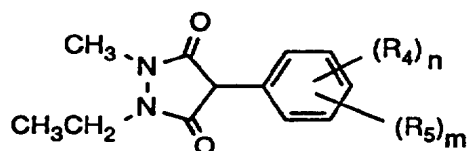
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|--------|-----------------------------------|-----------------------------------|--|----------------|
| 10.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 10.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 10.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 10.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 10.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 10.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 10.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 10.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 10.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 10.072 |                                   | 2-Cl                              | 4-CN   |                |
| 10.073 |                                   | 2-Cl                              | 6-CN   |                |
| 10.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 10.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 10.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 10.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 10.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 10.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 10.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 10.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 10.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 10.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 10.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 10.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 10.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 10.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 10.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 10.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 10.090 | 2-F                               | 4-F                               | 6-F  |                |
| 10.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 10.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 10.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 10.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 10.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 10.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 10.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 10.098 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-O-CH <sub>3</sub>                              |                |

| Cmpd   | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|--------|---------------------|------------------------|--|---|
| 10.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 10.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 10.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 10.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 10.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 10.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 10.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 10.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 10.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 10.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 10.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 10.110 |                     |                        |  | 4 - O -                  |
| 10.111 |                     |                        |  | 4 - O -                 |
| 10.112 |                     |                        |  | 4 - O -                |
| 10.113 |                     |                        |  | 4 O -                  |
| 10.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 10.115 |                     |                        |  | 4 - S -                |
| 10.116 |                     |                        |  | 4 - S -                |
| 10.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 10.118 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 10.119 |                     |                        |  | 4 - CH <sub>2</sub> -  |

| Cmpd   | R <sub>4</sub>                  | R <sub>4</sub>                        | R <sub>4</sub>                          | R <sub>5</sub>  |
|--------|---------------------------------|---------------------------------------|---|---|
| 10.120 |                                 |                                       |   | 4-CH <sub>2</sub> -  |
| 10.121 |                                 |                                       |   | 4-N-  -CHO           |
| 10.122 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -CH=CH <sub>2</sub> |   |
| 10.123 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -C≡CH               |   |
| 10.124 | 2-Br                            |                                       |   |   |
| 10.125 | 2-CF <sub>3</sub>               |                                       |   |   |
| 10.126 | 2-OCH <sub>3</sub>              |                                       |   |   |
| 10.127 | 2-CH <sub>3</sub>               |                                       |   | 4-O-                 |
| 10.128 | 2-CH <sub>3</sub>               |                                       |   | 4-O-                |
| 10.129 | 2-CH <sub>3</sub>               |                                       |   | 4-O-               |
| 10.130 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 10.131 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 10.132 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 10.133 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 10.134 | 2-CH <sub>3</sub>               | 4-Br                                  | 6-CH <sub>3</sub>                       |   |
| 10.135 | 2-CH <sub>3</sub>               | 6-C <sub>2</sub> H <sub>5</sub>       |   |   |
| 10.136 | 2-C <sub>2</sub> H <sub>5</sub> | 6-C <sub>2</sub> H <sub>5</sub>       |   |   |
| 10.137 | 2-CH <sub>3</sub>               | 4-OC <sub>2</sub> H <sub>5</sub>      | 6-CH <sub>3</sub>                       |   |
| 10.138 | 2-CH <sub>3</sub>               | 4-O-i-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |   |
| 10.139 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |   |
| 10.140 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>10</sub> H <sub>21</sub> | 6-CH <sub>3</sub>                       |   |

| Cmpd   | R <sub>4</sub>                  | R <sub>4</sub>                                       | R <sub>4</sub>                  | R <sub>5</sub>  |
|--------|---------------------------------|--|---------------------------------|---|
| 10.141 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>                  |                                 |   |
| 10.142 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                                 |   |
| 10.143 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> | 6-CH <sub>3</sub>               |   |
| 10.144 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                                 |   |
| 10.145 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>6</sub> H <sub>13</sub>                 | 6-CH <sub>3</sub>               |   |
| 10.146 | 2-CH <sub>3</sub>               |  |                                 |    |
| 10.147 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub>               |    |
| 10.148 | 2-CH <sub>3</sub>               |  |                                 |    |
| 10.149 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub>               |    |
| 10.150 | 2-CH <sub>3</sub>               |  |                                 |   |
| 10.151 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub>               |  |
| 10.152 | 2-CH <sub>3</sub>               |  |                                 |  |
| 10.153 | 2-CH <sub>3</sub>               |  |                                 |  |
| 10.154 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub>               |  |
| 10.155 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub>               |  |
| 10.156 | 2-C <sub>2</sub> H <sub>5</sub> |  | 6-CH <sub>3</sub>               |  |
| 10.157 | 2-C <sub>2</sub> H <sub>5</sub> | 4-C <sub>2</sub> H <sub>5</sub>                      | 6-C <sub>2</sub> H <sub>5</sub> |   |
| 10.158 | 2-C <sub>2</sub> H <sub>5</sub> |  |                                 |   |




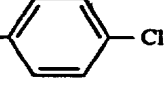
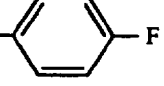
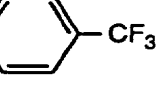


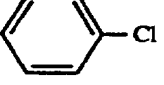
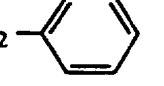
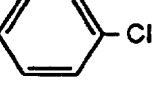
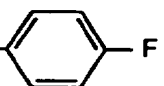
10.159 2-CH(CH<sub>3</sub>)<sub>2</sub>Table 11: Compounds of formula In

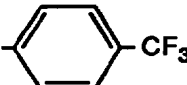
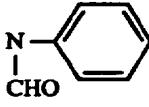
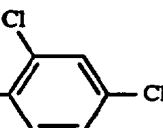
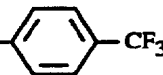
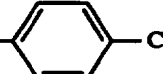

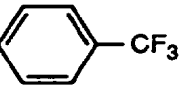
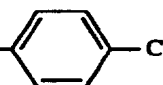
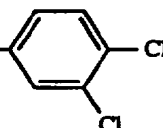
(In)

| Cmpd   | R <sub>4</sub>    | R <sub>4</sub>    | R <sub>4</sub>      | R <sub>5</sub> |
|--------|-------------------|-------------------|---------------------|----------------|
| 11.001 |                   | H                 |                     |                |
| 11.002 |                   | 2-CH <sub>3</sub> |                     |                |
| 11.003 |                   | 4-CH <sub>3</sub> |                     |                |
| 11.004 |                   | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 11.005 |                   | 2-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 11.006 |                   | 2-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 11.007 |                   | 3-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 11.008 |                   | 2-CH <sub>3</sub> | 3-CH <sub>3</sub>   |                |
| 11.009 |                   | 3-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 11.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 11.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 11.012 |                   | 2-Cl              |                     |                |
| 11.013 |                   | 4-Cl              |                     |                |
| 11.014 |                   | 2-Cl              | 4-Cl                |                |
| 11.015 |                   | 2-Cl              | 6-Cl                |                |
| 11.016 |                   | 2-Cl              | 6-F                 |                |
| 11.017 |                   | 2-CH <sub>3</sub> | 4-Cl                |                |
| 11.018 |                   | 2-CH <sub>3</sub> | 4-F                 |                |
| 11.019 |                   | 2-Cl              | 4-CH <sub>3</sub>   |                |
| 11.020 |                   | 2-Cl              | 6-CH <sub>3</sub>   |                |
| 11.021 |                   | 2-F               | 4-F                 |                |
| 11.022 |                   | 2-F               | 6-F                 |                |
| 11.023 |                   | 2-CH <sub>3</sub> | 4-O-CH <sub>3</sub> |                |
| 11.024 |                   | 2-CH <sub>3</sub> | 6-O-CH <sub>3</sub> |                |
| 11.025 |                   | 2-Cl              | 4-O-CH <sub>3</sub> |                |

| Cmpd   | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|--------|----------------|---------------------|--|----------------|
| 11.026 |                | 2-Cl                | 6-O-CH <sub>3</sub>                              |                |
| 11.027 |                | 3-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 11.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 11.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 11.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 11.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 11.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 11.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 11.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 11.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 11.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 11.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 11.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 11.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 11.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 11.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 11.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 11.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 11.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 11.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 11.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 11.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 11.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 11.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 11.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 11.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 11.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 11.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 11.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 11.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 11.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 11.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 11.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 11.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 11.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 11.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |

| Cmpd   | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|--------|-----------------------------------|-----------------------------------|--|----------------|
| 11.062 |                                   | 2-O-CH <sub>3</sub>               | 4-SO-CH <sub>3</sub>                             |                |
| 11.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 11.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 11.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 11.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 11.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 11.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 11.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 11.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 11.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 11.072 |                                   | 2-Cl                              | 4-CN   |                |
| 11.073 |                                   | 2-Cl                              | 6-CN   |                |
| 11.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 11.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 11.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 11.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 11.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 11.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 11.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 11.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 11.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 11.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 11.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 11.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 11.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 11.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 11.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 11.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 11.090 | 2-F                               | 4-F                               | 6-F  |                |
| 11.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 11.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 11.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 11.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 11.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 11.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 11.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |

| Cmpd   | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|--------|---------------------|------------------------|--|---|
| 11.098 | 2-O-CH <sub>3</sub> | 4-O-CH <sub>3</sub>    | 6-O-CH <sub>3</sub>                    |   |
| 11.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 11.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 11.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 11.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 11.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 11.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 11.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 11.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 11.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 11.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 11.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 11.110 |                     |                        |  | 4 - O -                  |
| 11.111 |                     |                        |  | 4 - O -                 |
| 11.112 |                     |                        |  | 4 - O -                |
| 11.113 |                     |                        |  | 4 - O -                |
| 11.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 11.115 |                     |                        |  | 4 - S -                |
| 11.116 |                     |                        |  | 4 - S -                |
| 11.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 11.118 |                     |                        |  | 4 CH <sub>2</sub> -    |
| 11.119 |                     |                        |  | 4 CH <sub>2</sub> -    |

| Cmpd   | R <sub>4</sub>                  | R <sub>4</sub>                        | R <sub>4</sub>                          | R <sub>5</sub>  |
|--------|---------------------------------|---------------------------------------|---|---|
| 11.120 |                                 |                                       |   | 4-CH <sub>2</sub> -  |
| 11.121 |                                 |                                       |   | 4-                   |
| 11.122 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -CH=CH <sub>2</sub> |   |
| 11.123 | 2-F                             | 4-Cl                                  | 5-O-CH <sub>2</sub> -C≡CH               |   |
| 11.124 | 2-Br                            |                                       |   |   |
| 11.125 | 2-CF <sub>3</sub>               |                                       |   |   |
| 11.126 | 2-OCH <sub>3</sub>              |                                       |   |   |
| 11.127 | 2-CH <sub>3</sub>               |                                       |   | 4-O-                 |
| 11.128 | 2-CH <sub>3</sub>               |                                       |   | 4-O-                |
| 11.129 | 2-CH <sub>3</sub>               |                                       |   | 4-O-               |
| 11.130 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 11.131 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 11.132 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 11.133 | 2-CH <sub>3</sub>               |                                       | 6-CH <sub>3</sub>                       | 4-O-               |
| 11.134 | 2-CH <sub>3</sub>               | 4-Br                                  | 6-CH <sub>3</sub>                       |   |
| 11.135 | 2-CH <sub>3</sub>               | 6-C <sub>2</sub> H <sub>5</sub>       |   |   |
| 11.136 | 2-C <sub>2</sub> H <sub>5</sub> | 6-C <sub>2</sub> H <sub>5</sub>       |   |   |
| 11.137 | 2-CH <sub>3</sub>               | 4-OC <sub>2</sub> H <sub>5</sub>      | 6-CH <sub>3</sub>                       |   |
| 11.138 | 2-CH <sub>3</sub>               | 4-O-i-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |   |
| 11.139 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>   | 6-CH <sub>3</sub>                       |   |
| 11.140 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>10</sub> H <sub>21</sub> | 6-CH <sub>3</sub>                       |   |

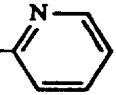
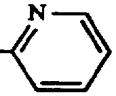
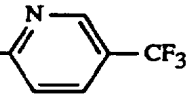
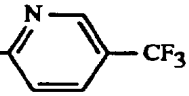
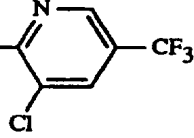
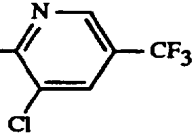
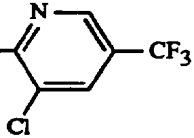
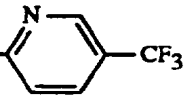
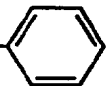
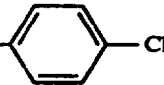
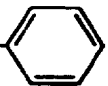
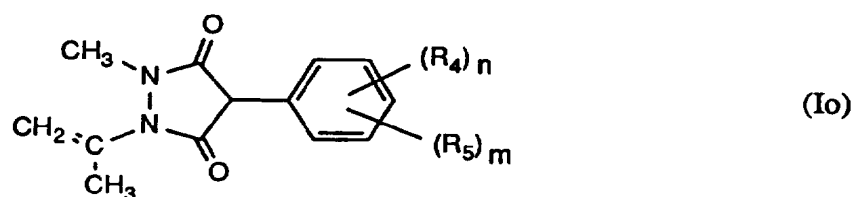
| Cmpd   | R <sub>4</sub>                  | R <sub>4</sub>                                       | R <sub>4</sub>    | R <sub>5</sub>   |
|--------|---------------------------------|--|-------------------|--|
| 11.141 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>3</sub> H <sub>7</sub>                  |                   |  |
| 11.142 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                   |  |
| 11.143 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> | 6-CH <sub>3</sub> |  |
| 11.144 | 2-CH <sub>3</sub>               | 4-O-(CH <sub>2</sub> ) <sub>2</sub> OCH <sub>3</sub> |                   |  |
| 11.145 | 2-CH <sub>3</sub>               | 4-O-n-C <sub>6</sub> H <sub>13</sub>                 | 6-CH <sub>3</sub> |  |
| 11.146 | 2-CH <sub>3</sub>               |  |                   | 4-O-    |
| 11.147 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4-O-    |
| 11.148 | 2-CH <sub>3</sub>               |  |                   | 4-O-    |
| 11.149 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4-O-    |
| 11.150 | 2-CH <sub>3</sub>               |  |                   | 4-O-   |
| 11.151 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4-O-  |
| 11.152 | 2-CH <sub>3</sub>               |  |                   | 5-O-  |
| 11.153 | 2-CH <sub>3</sub>               |  |                   | 5-O-  |
| 11.154 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4-S-  |
| 11.155 | 2-CH <sub>3</sub>               |  | 6-CH <sub>3</sub> | 4-S-  |
| 11.156 | 2-C <sub>2</sub> H <sub>5</sub> |  | 6-CH <sub>3</sub> | 4-S-  |

Table 12: Compounds of formula Io


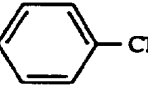
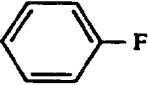
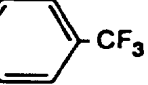


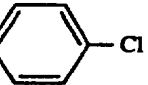
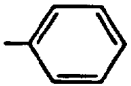
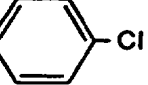
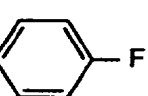


| Cpmd   | R <sub>4</sub>    | R <sub>4</sub>    | R <sub>4</sub>      | R <sub>5</sub> |
|--------|-------------------|-------------------|---------------------|----------------|
| 12.001 |                   | H                 |                     |                |
| 12.002 |                   | 2-CH <sub>3</sub> |                     |                |
| 12.003 |                   | 4-CH <sub>3</sub> |                     |                |
| 12.004 |                   | 2-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 12.005 |                   | 2-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 12.006 |                   | 2-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 12.007 |                   | 3-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 12.008 |                   | 2-CH <sub>3</sub> | 3-CH <sub>3</sub>   |                |
| 12.009 |                   | 3-CH <sub>3</sub> | 4-CH <sub>3</sub>   |                |
| 12.010 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 6-CH <sub>3</sub>   |                |
| 12.011 | 2-CH <sub>3</sub> | 4-CH <sub>3</sub> | 5-CH <sub>3</sub>   |                |
| 12.012 |                   | 2-Cl              |                     |                |
| 12.013 |                   | 4-Cl              |                     |                |
| 12.014 |                   | 2-Cl              | 4-Cl                |                |
| 12.015 |                   | 2-Cl              | 6-Cl                |                |
| 12.016 |                   | 2-Cl              | 6-F                 |                |
| 12.017 |                   | 2-CH <sub>3</sub> | 4-Cl                |                |
| 12.018 |                   | 2-CH <sub>3</sub> | 4-F                 |                |
| 12.019 |                   | 2-Cl              | 4-CH <sub>3</sub>   |                |
| 12.020 |                   | 2-Cl              | 6-CH <sub>3</sub>   |                |
| 12.021 |                   | 2-F               | 4-F                 |                |
| 12.022 |                   | 2-F               | 6-F                 |                |
| 12.023 |                   | 2-CH <sub>3</sub> | 4-O-CH <sub>3</sub> |                |
| 12.024 |                   | 2-CH <sub>3</sub> | 6-O-CH <sub>3</sub> |                |
| 12.025 |                   | 2-Cl              | 4-O-CH <sub>3</sub> |                |
| 12.026 |                   | 2-Cl              | 6-O-CH <sub>3</sub> |                |

| Cmpd   | R <sub>4</sub> | R <sub>4</sub>      | R <sub>4</sub>                                   | R <sub>5</sub> |
|--------|----------------|---------------------|--|----------------|
| 12.027 |                | 3-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 12.028 |                | 2-OCH <sub>3</sub>  | 5-OCH <sub>3</sub>                               |                |
| 12.029 |                | 2-OCH <sub>3</sub>  | 4-OCH <sub>3</sub>                               |                |
| 12.030 |                | 2-OCH <sub>3</sub>  | 6-OCH <sub>3</sub>                               |                |
| 12.031 |                | 2-CF <sub>3</sub>   | 6-CF <sub>3</sub>                                |                |
| 12.032 |                | 2-CF <sub>3</sub>   | 4-CF <sub>3</sub>                                |                |
| 12.033 |                | 3-CF <sub>3</sub>   | 5-CF <sub>3</sub>                                |                |
| 12.034 |                | 2-Cl                | 4-CF <sub>3</sub>                                |                |
| 12.035 |                | 2-Cl                | 6-CF <sub>3</sub>                                |                |
| 12.036 |                | 2-NO <sub>2</sub>   | 4-NO <sub>2</sub>                                |                |
| 12.037 |                | 2-Cl                | 4-NO <sub>2</sub>                                |                |
| 12.038 |                | 2-CH <sub>3</sub>   | 4-NO <sub>2</sub>                                |                |
| 12.039 |                | 2-O-CH <sub>3</sub> | 4-NO <sub>2</sub>                                |                |
| 12.040 |                | 2-F                 | 6-NO <sub>2</sub>                                |                |
| 12.041 |                | 2-Cl                | 6-NO <sub>2</sub>                                |                |
| 12.042 |                | 2-CH <sub>3</sub>   | 6-NO <sub>2</sub>                                |                |
| 12.043 |                | 2-O-CH <sub>3</sub> | 6-NO <sub>2</sub>                                |                |
| 12.044 |                | 2-F                 | 4-NO <sub>2</sub>                                |                |
| 12.045 |                | 2-CH <sub>3</sub>   | 4-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 12.046 |                | 2-Cl                | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 12.047 |                | 2-Cl                | 4-SO-CH <sub>3</sub>                             |                |
| 12.048 |                | 2-Cl                | 4-S-CH <sub>3</sub>                              |                |
| 12.049 |                | 2-Cl                | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 12.050 |                | 2-Cl                | 6-SO-CH <sub>3</sub>                             |                |
| 12.051 |                | 2-Cl                | 6-S-CH <sub>3</sub>                              |                |
| 12.052 |                | 2-CH <sub>3</sub>   | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 12.053 |                | 2-CH <sub>3</sub>   | 4-SO-CH <sub>3</sub>                             |                |
| 12.054 |                | 2-CH <sub>3</sub>   | 4-S-CH <sub>3</sub>                              |                |
| 12.055 |                | 2-CH <sub>3</sub>   | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 12.056 |                | 2-CH <sub>3</sub>   | 6-SO-CH <sub>3</sub>                             |                |
| 12.057 |                | 2-CH <sub>3</sub>   | 6-S-CH <sub>3</sub>                              |                |
| 12.058 |                | 2-O-CH <sub>3</sub> | 6-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 12.059 |                | 2-O-CH <sub>3</sub> | 6-SO-CH <sub>3</sub>                             |                |
| 12.060 |                | 2-O-CH <sub>3</sub> | 6-S-CH <sub>3</sub>                              |                |
| 12.061 |                | 2-O-CH <sub>3</sub> | 4-SO <sub>2</sub> -CH <sub>3</sub>               |                |
| 12.062 |                | 2-O-CH <sub>3</sub> | 4-SO-CH <sub>3</sub>                             |                |



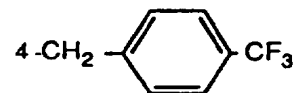
| Cmpd   | R <sub>4</sub>                    | R <sub>4</sub>                    | R <sub>4</sub>                                   | R <sub>5</sub> |
|--------|-----------------------------------|-----------------------------------|--|----------------|
| 12.063 |                                   | 2-O-CH <sub>3</sub>               | 4-S-CH <sub>3</sub>                              |                |
| 12.064 |                                   | 2-CH <sub>3</sub>                 | 6-N(C <sub>2</sub> H <sub>5</sub> ) <sub>2</sub> |                |
| 12.065 |                                   | 2-Cl                              | 6-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 12.066 |                                   | 2-Cl                              | 4-N(CH <sub>3</sub> ) <sub>2</sub>               |                |
| 12.067 |                                   | 2-Cl                              | 4-CO <sub>2</sub> CH <sub>3</sub>                |                |
| 12.068 |                                   | 2-CH <sub>3</sub>                 | 6-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 12.069 |                                   | 2-CH <sub>3</sub>                 | 4-CO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>  |                |
| 12.070 |                                   | 2-CH <sub>3</sub>                 | 4-CN   |                |
| 12.071 |                                   | 2-CH <sub>3</sub>                 | 6-CN   |                |
| 12.072 |                                   | 2-Cl                              | 4-CN   |                |
| 12.073 |                                   | 2-Cl                              | 6-CN   |                |
| 12.074 |                                   | 2-Cl                              | 4-CO-CH <sub>3</sub>                             |                |
| 12.075 |                                   | 2-O-CHF <sub>2</sub>              | 4-O-CHF <sub>2</sub>                             |                |
| 12.076 |                                   | 2-CH <sub>3</sub>                 | 4-O-CHF <sub>2</sub>                             |                |
| 12.077 |                                   | 2-Cl                              | 4-O-CF <sub>3</sub>                              |                |
| 12.078 |                                   | 2-O-CF <sub>3</sub>               | 4-O-CH <sub>3</sub>                              |                |
| 12.079 |                                   | 2-O-CHF <sub>2</sub>              | 4-Cl   |                |
| 12.080 |                                   | 2-O-CHF <sub>2</sub>              | 6-CH <sub>3</sub>                                |                |
| 12.081 |                                   | 2-O-CHF <sub>2</sub>              | 6-Cl   |                |
| 12.082 | 2-O-CHF <sub>2</sub>              | 4-CH <sub>3</sub>                 | 6-CH <sub>3</sub>                                |                |
| 12.083 | 2-CH <sub>3</sub>                 | 4-t-C <sub>4</sub> H <sub>9</sub> | 6-CH <sub>3</sub>                                |                |
| 12.084 | 2-i-C <sub>3</sub> H <sub>7</sub> | 4-i-C <sub>3</sub> H <sub>7</sub> | 6-i-C <sub>3</sub> H <sub>7</sub>                |                |
| 12.085 | 2-CH <sub>3</sub>                 | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |
| 12.086 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-Cl   |                |
| 12.087 | 2-Cl                              | 4-CF <sub>3</sub>                 | 6-F  |                |
| 12.088 | 2-Cl                              | 4-NO <sub>2</sub>                 | 6-Cl   |                |
| 12.089 | 2-Cl                              | 4-Cl                              | 6-Cl   |                |
| 12.090 | 2-F                               | 4-F                               | 6-F  |                |
| 12.091 | 2-CH <sub>3</sub>                 | 4-NO <sub>2</sub>                 | 6-CH <sub>3</sub>                                |                |
| 12.092 | 2-Cl                              | 4-Cl                              | 6-CH <sub>3</sub>                                |                |
| 12.093 | 2-Cl                              | 4-O-CH <sub>3</sub>               | 6-Cl   |                |
| 12.094 | 2-Cl                              | 4-Cl                              | 6-O-CH <sub>3</sub>                              |                |
| 12.095 | 2-F                               | 4-O-CH <sub>3</sub>               | 6-F  |                |
| 12.096 | 2-O-CH <sub>3</sub>               | 4-CH <sub>3</sub>                 | 6-O-CH <sub>3</sub>                              |                |
| 12.097 | 2-O-CH <sub>3</sub>               | 4-O-CH <sub>3</sub>               | 6-CH <sub>3</sub>                                |                |

| Cmpd   | R <sub>4</sub>      | R <sub>4</sub>         | R <sub>4</sub>                         | R <sub>5</sub>  |
|--------|---------------------|------------------------|--|---|
| 12.098 | 2-O-CH <sub>3</sub> | 4-O-CH <sub>3</sub>    | 6-O-CH <sub>3</sub>                    |   |
| 12.099 | 2-O-CH <sub>3</sub> | 4-CO-O-CH <sub>3</sub> | 6-O-CH <sub>3</sub>                    |   |
| 12.100 | 2-CH <sub>3</sub>   | 4-Cl                   | 6-CH <sub>3</sub>                      |   |
| 12.101 | 2-CH <sub>3</sub>   | 4-F                    | 6-CH <sub>3</sub>                      |   |
| 12.102 | 2-CH <sub>3</sub>   | 4-CH <sub>3</sub>      | 6-O-CH <sub>3</sub>                    |   |
| 12.103 | 2-F                 | 4-Cl                   | 5-O-i-C <sub>3</sub> H <sub>7</sub>    |   |
| 12.104 | 2-Cl                | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 12.105 |                     | 4-Cl                   | 5-O-CH <sub>3</sub>                    |   |
| 12.106 | 2-F                 | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 12.107 | 2-F                 | 4-Cl                   | 5-CO-O-C <sub>2</sub> H <sub>5</sub>   |   |
| 12.108 |                     | 4-Cl                   | 5-CO-O-CH <sub>3</sub>                 |   |
| 12.109 | 2-Cl                | 4-Cl                   | 5-CO-O-i-C <sub>3</sub> H <sub>7</sub> |   |
| 12.110 |                     |                        |  | 4 - O -                  |
| 12.111 |                     |                        |  | 4 - O -                 |
| 12.112 |                     |                        |  | 4 - O -                |
| 12.113 |                     |                        |  | 4 - O -                |
| 12.114 | 2-CH <sub>3</sub>   |                        |  | 4 - O -                |
| 12.115 |                     |                        |  | 4 - S -                |
| 12.116 |                     |                        |  | 4 - S -                |
| 12.117 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 12.118 |                     |                        |  | 4 - CH <sub>2</sub> -  |
| 12.119 |                     |                        |  | 4 - CH <sub>2</sub> -  |

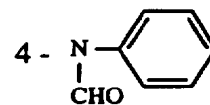
| Cmpd | R <sub>4</sub> | R <sub>4</sub> | R <sub>4</sub> | R <sub>5</sub> |
|------|----------------|----------------|----------------|----------------|
|------|----------------|----------------|----------------|----------------|

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12.120



12.121



12.122 2-F

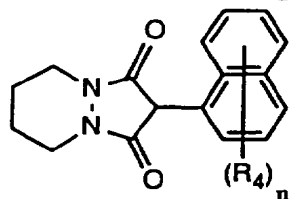
4-Cl

5-O-CH<sub>2</sub>-CH=CH<sub>2</sub>

12.123 2-F

4-Cl

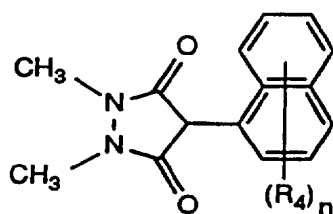
5-O-CH<sub>2</sub>-C≡CH

Table 13: Compounds of formula Ip

(Ip)

| Cmpd   | R <sub>4</sub>     |
|--------|--------------------|
| 13.001 | H 171-172          |
| 13.002 | 2-CH <sub>3</sub>  |
| 13.003 | 2-OCH <sub>3</sub> |
| 13.004 | 4-Cl               |
| 13.005 | 4-F                |
| 13.006 | 4-NO <sub>2</sub>  |
| 13.007 | 6-NO <sub>2</sub>  |
| 13.008 | 7-NO <sub>2</sub>  |

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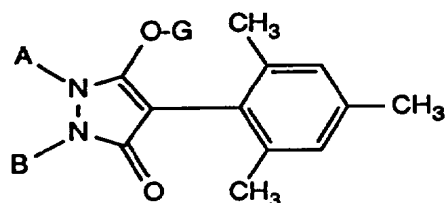
Table 14: Compounds of formula Iq

(Iq)

| Cmpd   | $R_4$              |
|--------|--------------------|
| 14.001 | H                  |
| 14.002 | 2-CH <sub>3</sub>  |
| 14.003 | 2-OCH <sub>3</sub> |
| 14.004 | 4-Cl               |
| 14.005 | 4-F                |
| 14.006 | 4-NO <sub>2</sub>  |
| 14.007 | 6-NO <sub>2</sub>  |
| 14.008 | 7-NO <sub>2</sub>  |

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Table 15: Compounds of formula Ir



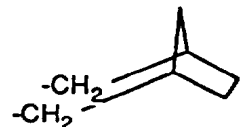
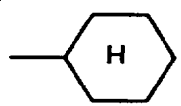
(Ir)

| Cmpd   | G   | A                                  | B                             |
|--------|---|------------------------------------|-------------------------------|
| 15.001 | H   | -(CH <sub>2</sub> ) <sub>4</sub> - |                               |
| 15.002 | $\begin{array}{c} \text{-C-CH}_2\text{-C(CH}_3)_3 \\ \parallel \\ \text{O} \end{array}$   | -(CH <sub>2</sub> ) <sub>4</sub> - |                               |
| 15.003 | -CO-C(CH <sub>3</sub> ) <sub>3</sub>  | -(CH <sub>2</sub> ) <sub>4</sub> - |                               |
| 15.004 | -COOCH(CH <sub>3</sub> ) <sub>2</sub>   | -(CH <sub>2</sub> ) <sub>4</sub> - |                               |
| 15.005 | -COOC <sub>2</sub> H <sub>5</sub>   | -(CH <sub>2</sub> ) <sub>4</sub>   |                               |
| 15.006 | H   | H                                  | C <sub>6</sub> H <sub>5</sub> |
| 15.007 | $\begin{array}{c} \text{-C-N(CH}_3)_2 \\ \parallel \\ \text{S} \end{array}$   | -(CH <sub>2</sub> ) <sub>4</sub> - |                               |
| 15.008 | $\begin{array}{c} \text{OCH}_3 \\ \diagup \\ \text{-P} \\ \parallel \\ \text{S} \\ \diagdown \\ \text{CH}_3 \end{array}$          | -(CH <sub>2</sub> ) <sub>4</sub> - |                               |
| 15.009 | $\begin{array}{c} \text{OCH}_3 \\ \diagup \\ \text{-P} \\ \parallel \\ \text{S} \\ \diagdown \\ \text{C}_2\text{H}_5 \end{array}$ | -(CH <sub>2</sub> ) <sub>4</sub> - |                               |
| 15.010 | $\begin{array}{c} \text{CH}_3 \\   \\ \text{-CO-C-C}_2\text{H}_5 \\   \\ \text{CH}_3 \end{array}$                                 | -(CH <sub>2</sub> ) <sub>4</sub> - |                               |
| 15.011 | -CO-CH(CH <sub>3</sub> ) <sub>2</sub>   | -(CH <sub>2</sub> ) <sub>4</sub> - |                               |

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| Cmpd   | G   | A | B   |
|--------|---|---|---|
| 15.012 | $\begin{array}{c} \text{OC}_2\text{H}_5 \\   \\ \text{-P-} \\    \\ \text{O} \end{array} \begin{array}{c} \text{SCH-C}_2\text{H}_5 \\   \\ \text{CH}_3 \end{array}$ |   | $\text{-(CH}_2)_4\text{-}$  |
| 15.013 | $\begin{array}{c} \text{CH}_3 \\   \\ \text{-COCCH}_2\text{CH}_2\text{Cl} \\   \\ \text{CH}_3 \end{array}$  |   | $\text{-(CH}_2)_4\text{-}$  |
| 15.014 | H   |   | $\begin{array}{c} \text{-CH}_2\text{CF-CH}_2\text{-} \\   \\ \text{CH}_3 \end{array}$ |
| 15.015 | $\text{-COSC}_2\text{H}_5$  |   | $\text{-(CH}_2)_4\text{-}$  |
| 15.016 | $\text{-CON(CH}_3)_2$   |   | $\text{-(CH}_2)_4\text{-}$  |
| 15.017 | $\begin{array}{c} \text{CH}_3 \\   \\ \text{-COC-C}_2\text{H}_5 \\   \\ \text{CH}_3 \end{array}$  |   | $\text{-CH}_2\text{CF}_2\text{CH}_2\text{-}$  |
| 15.018 | $\text{-COCH}_3$  |   | $\begin{array}{c} \text{-CH}_2\text{CF-CH}_2\text{-} \\   \\ \text{CH}_3 \end{array}$ |
| 15.019 | $\text{-COC(CH}_3)_3$   |   | $\begin{array}{c} \text{-CH}_2\text{CF-CH}_2\text{-} \\   \\ \text{CH}_3 \end{array}$ |
| 15.020 | H   |   | $\text{-(CH}_2)_3\text{-}$  |
| 15.021 | $\begin{array}{c} \text{-COOCH-CH}_3 \\   \\ \text{CH}_2\text{OC}_2\text{H}_5 \end{array}$  |   | $\begin{array}{c} \text{-CH}_2\text{CF-CH}_2\text{-} \\   \\ \text{CH}_3 \end{array}$ |
| 15.022 | $\begin{array}{c} \text{CH}_3 \\   \\ \text{-COCH}_2\text{C-CH}_3 \\   \\ \text{CH}_3 \end{array}$  |   | $\begin{array}{c} \text{-CH}_2\text{CF-CH}_2\text{-} \\   \\ \text{CH}_3 \end{array}$ |

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| Cmpd   | G  | A | B   |
|--------|--|---|---|
| 15.023 | $\begin{array}{c} \text{CH}_3 \\   \\ -\text{COCH}_2\text{C}-\text{CH}_3 \\   \\ \text{CH}_3 \end{array}$  |   | $-(\text{CH}_2)_3-$   |
| 15.024 | $\begin{array}{c} \text{CH}_3 \quad \text{CH}_3 \\   \quad / \\ -\text{COC}-\text{CH} \\   \quad \backslash \\ \text{CH}_3 \quad \text{CH}_3 \end{array}$    |   | $-(\text{CH}_2)_4-$   |
| 15.025 | $-\text{CO}-\text{C}(\text{CH}_3)_3$   |   | $-(\text{CH}_2)_3-$   |
| 15.026 | $-\text{CO}-\text{C}(\text{CH}_3)_3$   |   | $-\text{CH}_2\text{CF}_2\text{CH}_2-$   |
| 15.027 | $-\text{COCH}_3$   | H | $\text{C}_6\text{H}_5$  |
| 15.028 | $-\text{COCH}_2\text{C}(\text{CH}_3)_3$  | H | $\text{C}_6\text{H}_5$  |
| 15.029 | $-\text{COC}(\text{CH}_3)_3$   | H | $\text{C}_6\text{H}_5$  |
| 15.030 | H  |   |   |
| 15.031 | H  |   | $-\text{CH}_2\text{CF}_2\text{CH}_2-$   |
| 15.032 | $\begin{array}{c} \text{CH}_3 \\   \\ -\text{COCH}_2\text{C}-\text{CH}_3 \\   \\ \text{CH}_3 \end{array}$  |   | $-\text{CH}_2\text{CF}_2\text{CH}_2-$   |
| 15.033 | $-\text{COCH}_3$   |   | $-\text{CH}_2\text{CF}_2\text{CH}_2-$   |
| 15.034 | $\begin{array}{c} \text{CH}_3 \quad \text{CH}_3 \\   \quad   \\ -\text{COOCH}-\text{CH}_2\text{O} \\   \quad   \\ \text{CH}_3 \quad \text{CH}_3 \end{array}$ |   | $-\text{CH}_2\text{CF}_2\text{CH}_2-$   |
| 15.035 | $\begin{array}{c} \text{CH}_3 \quad \text{CH}_3 \\   \quad   \\ -\text{COC}-\text{CH} \\   \quad   \\ \text{CH}_3 \quad \text{CH}_3 \end{array}$             |   | $-\text{CH}_2\text{CF}_2\text{CH}_2-$   |
| 15.036 | $-\text{SO}_2\text{CH}_3$  |   | $-(\text{CH}_2)_4-$   |
| 15.037 | H  | H |  |



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| Cmpd   | G   | A | B  |
|--------|---|---|--|
| 15.038 | $\begin{array}{c} \text{-C-O-CH-CH}_2\text{-OC}_2\text{H}_5 \\ \parallel \quad   \\ \text{O} \quad \text{CH}_3 \end{array}$ |   |  |
| 15.039 | $\begin{array}{c} \text{-C-C(CH}_3)_2\text{-CH(CH}_3)_2 \\ \parallel \\ \text{O} \end{array}$                               |   |  |
| 15.040 | $\begin{array}{c} \text{-C-C(CH}_3)_2\text{-C}_2\text{H}_5 \\ \parallel \\ \text{O} \end{array}$                            |   |  |
| 15.041 | $\begin{array}{c} \text{-C-CH}_3 \\ \parallel \\ \text{O} \end{array}$  |   |  |
| 15.042 | $\begin{array}{c} \text{-C-C(CH}_3)_3 \\ \parallel \\ \text{O} \end{array}$   |   |  |
| 15.043 | $\begin{array}{c} \text{-C-C(CH}_3)_2\text{-CH(CH}_3)_2 \\ \parallel \\ \text{O} \end{array}$                               | H |  |
| 15.044 | $\begin{array}{c} \text{-C-O-CH-CH}_2\text{-OC}_2\text{H}_5 \\ \parallel \quad   \\ \text{O} \quad \text{CH}_3 \end{array}$ | H |  |
| 15.045 | $\begin{array}{c} \text{-C-C(CH}_3)_2\text{-C}_2\text{H}_5 \\ \parallel \\ \text{O} \end{array}$                            | H |  |
| 15.046 | H   |   | $\text{-(CH}_2)_5\text{-}$                             |
| 15.047 | H   |   | $\text{-CH}_2\text{-C(CH}_3)_2\text{-CH}_2\text{-}$    |
| 15.048 | $\begin{array}{c} \text{-C-CH}_2\text{-C(CH}_3)_3 \\ \parallel \\ \text{O} \end{array}$                                     |   | $\text{-CH}_2\text{-C(CH}_3)_2\text{-CH}_2\text{-}$    |
| 15.049 | $\begin{array}{c} \text{-C-C(CH}_3)_2\text{-C}_2\text{H}_5 \\ \parallel \\ \text{O} \end{array}$                            |   | $\text{-(CH}_2)_5\text{-}$                             |
| 15.050 | $\begin{array}{c} \text{-C-CH}_3 \\ \parallel \\ \text{O} \end{array}$  |   | $\text{-(CH}_2)_5\text{-}$                             |
| 15.051 | H   |   | $\text{-CH(CH}_3)\text{-CH}_2\text{-CH(CH}_3)\text{-}$ |

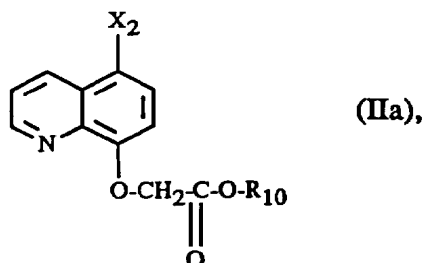
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| Cmpd   | G   | A   | B               |
|--------|---|---|-----------------|
| 15.052 | H   | H   | CH <sub>3</sub> |
| 15.053 | $\begin{array}{c} \text{---C---C(CH}_3)_3 \\    \\ \text{O} \end{array}$                        | H   | CH <sub>3</sub> |
| 15.054 | $\begin{array}{c} \text{---C---C(CH}_3)_2\text{---C}_2\text{H}_5 \\    \\ \text{O} \end{array}$ | H   | CH <sub>3</sub> |
| 15.055 | $\begin{array}{c} \text{---C---CH}_2\text{---C(CH}_3)_3 \\    \\ \text{O} \end{array}$          | H   | CH <sub>3</sub> |
| 15.056 | $\begin{array}{c} \text{---C---CH}_3 \\    \\ \text{O} \end{array}$                             | H   | CH <sub>3</sub> |
| 15.057 | $\begin{array}{c} \text{---C---C(CH}_3)_3 \\    \\ \text{O} \end{array}$                        | -CH(CH <sub>3</sub> )-CH <sub>2</sub> -CH(CH <sub>3</sub> )-  |                 |
| 15.058 | $\begin{array}{c} \text{---C---CH}_3 \\    \\ \text{O} \end{array}$                             | -CH(CH <sub>3</sub> )-CH <sub>2</sub> -CH(CH <sub>3</sub> )-  |                 |
| 15.059 | $\begin{array}{c} \text{---C---C(CH}_3)_2\text{---CH(CH}_3)_2 \\    \\ \text{O} \end{array}$    | -CH(CH <sub>3</sub> )-CH <sub>2</sub> -CH(CH <sub>3</sub> )-  |                 |
| 15.060 | $\begin{array}{c} \text{---C---CH}_2\text{---C(CH}_3)_3 \\    \\ \text{O} \end{array}$          | -CH(CH <sub>3</sub> )-CH <sub>2</sub> -CH(CH <sub>3</sub> )-  |                 |
| 15.061 | $\begin{array}{c} \text{---C---C(CH}_3)_2\text{---C}_2\text{H}_5 \\    \\ \text{O} \end{array}$ | -CH(CH <sub>3</sub> )-CH <sub>2</sub> -CH(CH <sub>3</sub> )-  |                 |
| 15.062 | $\begin{array}{c} \text{---C---C(CH}_3)_3 \\    \\ \text{O} \end{array}$                        | $\begin{array}{c} \text{---CH}_2\text{---C(C}_3\text{H}_7\text{)---CH}_2\text{---} \\   \\ \text{CH}_3 \end{array}$ |                 |
| 15.063 | $\begin{array}{c} \text{---C---C(CH}_3)_2\text{---CH(CH}_3)_2 \\    \\ \text{O} \end{array}$    | $\begin{array}{c} \text{---CH}_2\text{---C(C}_3\text{H}_7\text{)---CH}_2\text{---} \\   \\ \text{CH}_3 \end{array}$ |                 |
| 15.064 | $\begin{array}{c} \text{---C---C(CH}_3)_3 \\    \\ \text{O} \end{array}$                        | $\begin{array}{c} \text{---CH}_2\text{---CH}_2\text{---CH---} \\   \\ \text{CH}_3 \end{array}$                      |                 |

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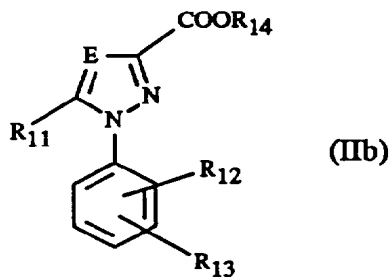
| Cmpd   | G  | A              | B  |
|--------|--|----------------|--|
| 15.065 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{-C(CH}_3)_2\text{-CH(CH}_3)_2$ |                | $\text{-CH}_2\text{-CH}_2\text{-CH-}$<br>$\text{CH}_3$   |
| 15.066 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{-CH}_3$                        |                | $\text{-CH}_2\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{(C}_3\text{H}_7\text{)-CH}_2\text{-}$<br>$\text{CH}_3$ |
| 15.067 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{C(CH}_3)_3$                    | $\text{CH}_3$  | $\text{CH}_3$  |
| 15.068 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{CH}_2\text{C(CH}_3)_3$         | $\text{CH}_3$  | $\text{CH}_3$  |
| 15.069 | H  |                | $\text{-(CH}_2)_2\text{CH(CH}_3\text{)(CH}_2)_2\text{-}$   |
| 15.070 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{C(CH}_3)_3$                    |                | $\text{-(CH}_2)_2\text{CH(CH}_3\text{)(CH}_2)_2\text{-}$   |
| 15.071 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{CH}_2\text{C(CH}_3)_3$         |                | $\text{-(CH}_2)_2\text{CH(CH}_3\text{)(CH}_2)_2\text{-}$   |
| 15.072 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{C(CH}_3)_3$                    |                | $\text{-CH}_2\text{C(CH}_3)_2\text{CH}_2\text{-}$  |
| 15.073 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{C(CH}_3)_3$                    |                | $\text{-CH}_2\text{CH(CH}_3\text{)CH}_2\text{CH}_2\text{-}$  |
| 15.074 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{C(CH}_3)_3$                    | $\text{-CH}_3$ | $\text{-C}_2\text{H}_5$  |
| 15.075 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{C(CH}_3)_3$                    |                | $\text{-CH(CH}_3\text{)(CH}_2)_3\text{-}$  |
| 15.076 | $\text{-}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{C(CH}_3)_3$                    |                | $\text{-CH}_2\text{CH(CH}_3\text{)CH(CH}_3\text{)CH}_2\text{-}$  |

Preferred compounds of formula IIa are listed in the following Table 16.

Table 16: Compounds of formula IIa:

| Cmpd  | X <sub>2</sub> | R <sub>10</sub>   |
|-------|----------------|---|
| 16.01 | Cl             | -CH(CH <sub>3</sub> )-C <sub>5</sub> H <sub>11</sub> -n                   |
| 16.02 | Cl             | -CH(CH <sub>3</sub> )-CH <sub>2</sub> OCH <sub>2</sub> CH=CH <sub>2</sub> |
| 16.03 | Cl             | H   |
| 16.04 | Cl             | C <sub>4</sub> H <sub>9</sub> -n  |

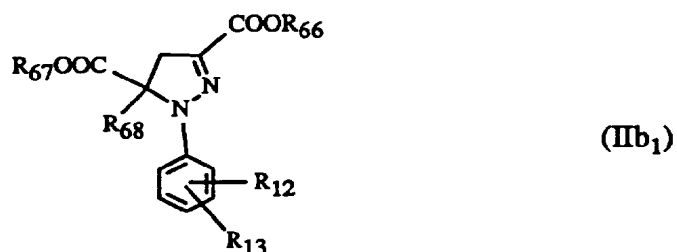
Preferred compounds of formula IIb and IIb<sub>1</sub> are listed in the following Tables 17 and 18.

Table 17: Compounds of formula IIb:

| Cmpd  | R <sub>14</sub>               | R <sub>11</sub>  | R <sub>12</sub> | R <sub>13</sub>   | E  |
|-------|-------------------------------|------------------|-----------------|-------------------|----|
| 17.01 | CH <sub>3</sub>               | phenyl           | 2-Cl            | H                 | CH |
| 17.02 | CH <sub>3</sub>               | phenyl           | 2-Cl            | 4-Cl              | CH |
| 17.03 | CH <sub>3</sub>               | phenyl           | 2-F             | H                 | CH |
| 17.04 | CH <sub>3</sub>               | 2-chlorophenyl   | 2-F             | H                 | CH |
| 17.05 | C <sub>2</sub> H <sub>5</sub> | CCl <sub>3</sub> | 2-Cl            | 4-Cl              | N  |
| 17.06 | CH <sub>3</sub>               | phenyl           | 2-Cl            | 4-CF <sub>3</sub> | N  |

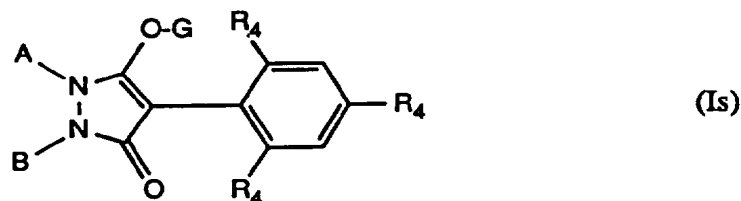
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| Cmpd  | R <sub>14</sub> | R <sub>11</sub> | R <sub>12</sub> | R <sub>13</sub>        | E |
|-------|-----------------|-----------------|-----------------|------------------------|---|
| 17.07 | CH <sub>3</sub> | phenyl          |                 | 2-Cl 4-CF <sub>3</sub> | N |

Table 18: Compound of formula IIb<sub>1</sub>:

| Cmpd  | R <sub>68</sub> | R <sub>67</sub>               | R <sub>66</sub>               | R <sub>12</sub> | R <sub>13</sub> |
|-------|-----------------|-------------------------------|-------------------------------|-----------------|-----------------|
| 18.01 | CH <sub>3</sub> | CH <sub>3</sub>               | CH <sub>3</sub>               | 2-Cl            | 4-Cl            |
| 18.02 | CH <sub>3</sub> | C <sub>2</sub> H <sub>5</sub> | CH <sub>3</sub>               | 2-Cl            | 4-Cl            |
| 18.03 | CH <sub>3</sub> | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | 2-Cl            | 4-Cl            |

Table 19: Compounds of formula Is



| Cmpd  | R <sub>4</sub>                | R <sub>4</sub>                | R <sub>4</sub>                | G   | A               | B                                   |
|-------|-------------------------------|-------------------------------|-------------------------------|---|-----------------|-------------------------------------|
| 19.01 | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | $\text{--}\overset{\text{O}}{\parallel}\text{CCH}_2\text{C}(\text{CH}_3)_3$ |                 | $\text{--}(\text{CH}_2)_4\text{--}$ |
| 19.02 | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | $\text{--}\overset{\text{O}}{\parallel}\text{CC}(\text{CH}_3)_3$            | CH <sub>3</sub> | CH <sub>3</sub>                     |
| 19.03 | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | $\text{--}\overset{\text{O}}{\parallel}\text{CC}(\text{CH}_3)_3$            |                 | $\text{--}(\text{CH}_2)_4\text{--}$ |

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| Cmpd  | R <sub>4</sub>                | R <sub>4</sub>                | R <sub>4</sub>                | G  | A               | B                                  |
|-------|-------------------------------|-------------------------------|-------------------------------|--|-----------------|------------------------------------|
| 19.04 | Cl                            | H                             | F                             | $\text{--}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{C}(\text{CH}_3)_3$  | CH <sub>3</sub> | CH <sub>3</sub>                    |
| 19.05 | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | $\text{--}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{CH}_3$              |                 | -(CH <sub>2</sub> ) <sub>4</sub> - |
| 19.06 | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | $\text{--}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{OC}_2\text{H}_5$    |                 | -(CH <sub>2</sub> ) <sub>4</sub> - |
| 19.07 | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | $\text{--}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{C}_2\text{H}_5$     |                 | -(CH <sub>2</sub> ) <sub>4</sub> - |
| 19.08 | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | C <sub>2</sub> H <sub>5</sub> | $\text{--}\overset{\text{O}}{\underset{\text{O}}{\text{C}}}\text{CH}(\text{CH}_3)_2$ |                 | -(CH <sub>2</sub> ) <sub>4</sub> - |

The compounds of formula I are disclosed in WO 92/16510 and EP-A-0 508 126 as insecticides, acaricides and herbicides.

The quinoline derivatives falling under the scope of formula IIa and the preparation thereof are known or can be prepared according to known processes, such as disclosed, inter alia, in EP-A-0 094 349.

The 1-phenylazole-3-carboxylic acid derivatives falling under the scope of formula IIb are known and are disclosed, inter alia, in EP-A-0 268 554 and EP-A-0 174 562.

The compounds of formula IIb<sub>1</sub> are disclosed in WO 91/07874 as safener.

The invention also relates to a method of selectively controlling weeds in crops of cultivated plants, which comprises treating said cultivated plants, the seeds or seedlings or the crop area thereof, concurrently or separately, with a herbicidally effective amount of the herbicide of formula I and, to antagonise the herbicide, an antidotally effective amount of the safener of formula IIa, IIb or IIb<sub>1</sub>.

Suitable cultivated plants which can be protected by the safener of formula IIa, IIb and IIb<sub>1</sub> against the harmful action of the aforementioned herbicides are preferably maize and cereals.

The weeds to be controlled can be monocot as well as dicot weeds.

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Crop areas will be understood as meaning the areas already under cultivation with the cultivated plants or seeds thereof, as well as the areas intended for cropping with said cultivated plants.

Depending on the end use, a safener of formula IIa, IIb and IIb<sub>1</sub> can be used for pretreating seeds of the crop plants (dressing of seeds of seedlings) or it can be incorporated in the soil before or after sowing. It can, however, also be applied by itself alone or together with the herbicide postemergence. Treatment of the plant or the seeds with the safener can therefore in principle be carried out irrespective of the time of application of the herbicide. Treatment can, however, also be carried out by simultaneous application of the phytotoxic chemical and safener (e.g. as tank mixture).

The concentration of safener with respect to the herbicide will depend substantially on the mode of application. Where a field treatment is carried out either by using a tank mixture with a combination of safener and herbicide or by separate application of safener and herbicide, the ratio of safener to herbicide will usually be from 100:1 to 1:10, preferably from 20:1 to 1:1.

In field treatment it is usual to apply 0.001 to 5.0 kg/ha, preferably 0.001 to 0.5 kg/ha, of safener.

The concentration of herbicide is usually in the range from 0.001 to 2 kg/ha, but will preferably be from 0.005 to 1 kg/ha.

The compositions of this invention are suitable for all methods of application commonly used in agriculture, including preemergence application, postemergence application and seed dressing.

For seed dressing, 0.001 to 10 g of safener/kg of seeds, preferably 0.05 to 2 g of safener/kg of seeds, is usually applied. If the safener is used in liquid form shortly before sowing to effect soaking, then it is preferred to use safener solutions that contain the active ingredient in a concentration of 1 to 10 000 ppm, preferably of 100 to 1000 ppm.

For application, it is preferred to process the safeners of formula IIa, IIb or IIb<sub>1</sub>, or mixtures of these safeners and the herbicides of formula I together with the assistants

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conventionally employed in formulation technology to emulsifiable concentrates, coatable pastes, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granulates or microcapsules. The formulations are prepared in known manner, conveniently by homogeneously mixing or grinding, or mixing and grinding, the active ingredients with liquid or solid formulation assistants, typically solvents or solid carriers. Surface-active compounds (surfactants) may additionally be used for preparing the formulations.

Suitable solvents may typically be: aromatic hydrocarbons, preferably the fractions containing 8 to 12 carbon atoms such as xylene mixtures or substituted naphthalenes; phthalates such as dibutyl or dioctyl phthalate; aliphatic hydrocarbons such as cyclohexane or paraffins; alcohols and glycols and their ethers and esters such as ethanol, diethylene glycol, 2-methoxyethanol or 2-ethoxyethanol; ketones such as cyclohexanone; strongly polar solvents such as N-methyl-2-pyrrolidone, dimethyl sulfoxide or dimethyl formamide; as well as vegetable oils or epoxidised vegetable oils such as epoxidised coconut oil or soybean oil; or water.

The solid carriers typically used for dusts and dispersible powders are usually natural mineral fillers such as calcite, talcum, kaolin, montmorillonite or attapulgite. To improve the physical properties it is also possible to add highly dispersed silicic acid or highly dispersed absorbent polymers. Suitable granulated adsorptive carriers are porous types, including pumice, broken brick, sepiolite or bentonite; and suitable nonsorbent carriers are materials such as calcite or sand. In addition, innumerable pregranulated materials of inorganic or organic origin may be used, especially dolomite or pulverised plant residues.

Depending on the safener, and usually also on the herbicide, suitable surface-active compounds are nonionic, cationic and/or anionic surfactants having good emulsifying, dispersing and wetting properties. Surfactants will also be understood as comprising mixtures of surfactants.

Suitable anionic surfactants may be water-soluble soaps as well as water-soluble synthetic surface-active compounds.

Suitable soaps are the alkali metal salts, alkaline earth metal salts, ammonium salts or substituted ammonium salts of higher fatty acids ( $C_{10}$ - $C_{22}$ ), e.g. the sodium or potassium salts of oleic or stearic acid, or of natural fatty acid mixtures which can be obtained, inter



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alia from coconut oil or tallow oil. Further suitable soaps are also the fatty acid methyl taurin salts.

More often, however, so-called synthetic surfactants are used, especially fatty sulfonates, fatty sulfates, sulfonated benzimidazole derivatives or alkylarylsulfonates.

The fatty alcohol sulfonates or sulfates are usually in the form of alkali metal salts, alkaline earth metal salts, ammonium salts or substituted ammonium salts, and they contain a C<sub>8</sub>-C<sub>22</sub>alkyl radical which also includes the alkyl moiety of acyl radicals, e.g. the sodium or calcium salt of ligninsulfonic acid, of dodecylsulfate, or of a mixture of fatty alcohol sulfates obtained from natural fatty acids. These compounds also comprise the salts of sulfated and sulfonated fatty alcohol/ethylene oxide adducts. The sulfonated benzimidazole derivatives preferably contain two sulfonic acid groups and one fatty acid radical containing 8 to 22 carbon atoms. Illustrative examples of alkylarylsulfonates are the sodium, calcium or triethanolamine salts of dodecylbenzenesulfonic acid, dibutylnaphthalenesulfonic acid, or of a condensate of naphthalenesulfonic acid and formaldehyde.

Corresponding phosphates, typically salts of the phosphoric acid ester of an adduct of p-nonylphenol with 4 to 14 mol of ethylene oxide, or phospholipids, are also suitable.

Nonionic surfactants are preferably polyglycol ether derivatives of aliphatic or cycloaliphatic alcohols or of saturated or unsaturated fatty acids and alkylphenols, said derivatives containing 3 to 30 glycol ether groups and 8 to 20 carbon atoms in the (aliphatic) hydrocarbon moiety and 6 to 18 carbon atoms in the alkyl moiety of the alkylphenols.

Further suitable nonionic surfactants are the water-soluble polyadducts of polyethylene oxide with polypropylene glycol, ethylenediaminopolypropylene glycol and alkylpolypropylene glycol containing 1 to 10 carbon atoms in the alkyl chain, which polyadducts contain 20 to 250 ethylene glycol ether groups and 10 to 100 propylene glycol ether groups. These compounds usually contain 1 to 5 ethylene glycol units per propylene glycol unit.

Illustrative examples of nonionic surfactants are nonylphenol polyethoxylates, polyethoxylated castor oil, polyadducts of polypropylene and polyethylene oxide, tributylphenol polyethoxylate, polyethylene glycol and octylphenol polyethoxylate.

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Fatty acid esters of polyoxyethylene sorbitan are also suitable nonionic surfactants, typically polyoxyethylene sorbitan trioleate.

Cationic surfactants are preferably quaternary ammonium salts carrying, as N-substituent, at least one C<sub>8</sub>-C<sub>22</sub>alkyl radical and, as further substituents, unsubstituted or halogenated lower alkyl, benzyl or hydroxy-lower alkyl radicals. The salts are preferably in the form of halides, methyl sulfates or ethyl sulfates, for example stearyl trimethylammonium chloride or benzyl bis(2-chloroethyl)ethylammonium bromide.

The surfactants customarily employed in the art of formulation are described, inter alia, in "Mc Cutcheon's Detergents and Emulsifiers Annual", Mc Publishing Corp., Glen Rock, New Jersey, 1988, H. Stache, "Tensid-Taschenbuch" (Handbook of Surfactants), Carl Hanser Verlag, Munich/Vienna 1981, and M. and J. Ash, "Encyclopedia of Surfactants", Vol I-III, Chemical Publishing Co., New York, 1980-81.

The agrochemical compositions will usually contain from 0.1 to 99 % by weight, preferably from 0.1 to 95 % by weight, of safener or mixture of safener and herbicide, from 1 to 99.9 % by weight, preferably from 5 to 99.8 % by weight, of a solid or liquid formulation assistant, and from 0 to 25 % by weight, preferably from 0.1 to 25 % by weight, of a surfactant.

Whereas it is preferred to formulate commercial products as concentrates, the end user will normally use dilute formulations.

The compositions may also contain further ingredients such as stabilisers, antifoams, viscosity regulators, binders, tackifiers, as well as fertilisers or other chemical agents.

Different methods and techniques may suitably be used for applying the safeners of formula II or compositions containing them for protecting cultivated plants from the harmful effects of herbicides of formula I, conveniently the following:

i) Seed dressing

a) Dressing the seeds with a wettable powder formulation of the compound of formula IIa, IIb or IIb<sub>1</sub> by shaking in a vessel until the safener is uniformly distributed on the surface of the seeds (dry treatment), using up to c. 1 to 500 g of compound of formula IIa, IIb or IIb<sub>1</sub>

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(4 g to 2 g of wettable powder) per 100 kg of seeds.

b) Dressing seeds with an emulsifiable concentrate of the compound of formula IIa, IIb, IIb<sub>1</sub> by method a) (wet treatment).

c) Dressing by immersing the seeds in a mixture containing 100-1000 ppm of compound of formula IIa, IIb or IIb<sub>1</sub> for 1 to 72 hours, leaving them wet or subsequently drying them (seed soaking).

Seed dressing or treatment of the germinated seedlings are naturally the preferred methods of application, as the safener treatment is fully concentrated on the target crop. Usually 1 to 1000 g, preferably 5 to 250 g, of safener is used per 100 kg of seeds. However, depending on the method employed, which also permits the use of other chemical agents or micronutrients, plus or minus deviations from the indicated limiting concentrations are possible (repeat dressing).

ii) Application as a tank mixture

A liquid formulation of a mixture of safener and herbicide (reciprocal ratio from 10:1 to 1:100) is used, the concentration of herbicide being from 0.005 to 5.0 kg/ha. This tank mixture is applied before or after sowing.

iii) Application in the furrow

The safener formulated as emulsifiable concentrate, wettable powder or granulate is applied to the open furrow in which the seeds have been sown. After covering the furrow, the herbicide is applied pre-emergence in conventional manner.

iv) Controlled release of safener

A solution of the compound of formula IIa, IIb or IIb<sub>1</sub> is applied to mineral granulate substrates or polymerised granulates (urea/formaldehyde) and allowed to dry. A coating may additionally be applied (coated granulates) which permits controlled release of the safener over a specific period of time.

The invention is illustrated in more detail by the following non-limitative Examples.

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Formulation Examples for mixtures of formula I and safeners of formula IIa, IIb or IIb<sub>1</sub>  
(throughout, percentages are by weight)

| <u>F1. Emulsifiable concentrates</u>                                | a)   | b)   | c)   | d)   |
|---|------|------|------|------|
| compound mixture  | 5 %  | 10 % | 25 % | 50 % |
| calcium dodecylbenzenesulfonate                                     | 6 %  | 8 %  | 6 %  | 8 %  |
| polyethoxylated castor oil<br>(36 mol EO)                           | 4 %  | -    | 4 %  | 4 %  |
| octylphenol polyethoxylate<br>(7-8 mol EO)                          | -    | 4 %  | -    | 2 %  |
| cyclohexanone   | -    | -    | 10 % | 20 % |
| mixture of aromatic hydrocarbons<br>C <sub>9</sub> -C <sub>12</sub> | 85 % | 78 % | 55 % | 16 % |

Emulsions of any desired concentration can be prepared by diluting such concentrates with water.

| <u>F2. Solutions</u>  | a)   | b)   | c)   | d)   |
|---|------|------|------|------|
| compound mixture  | 5 %  | 10 % | 50 % | 90 % |
| 1-methoxy-3-(3-methoxypropoxy)-<br>propane                          | -    | 20 % | 20 % | -    |
| polyethylene glycol 400   | 20 % | 10 % | -    | -    |
| N-methyl-2-pyrrolidone  | -    | -    | 30 % | 10 % |
| mixture of aromatic hydrocarbons<br>C <sub>9</sub> -C <sub>12</sub> | 75 % | 60 % | -    | -    |

The solutions are suitable for use as microdrops.

| <u>F3. Wettable powders</u>   | a)  | b)   | c)   | d)   |
|-------------------------------|-----|------|------|------|
| compound mixture              | 5 % | 25 % | 50 % | 80 % |
| sodium ligninsulfonate        | 4 % | -    | 3 %  | -    |
| sodium laurylsulfate          | 2 % | 3 %  | -    | 4 %  |
| sodium diisobutyl-naphthalene |     |      |      |      |

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|  |      |      |      |      |
|--|------|------|------|------|
| sulfonate                                  | -    | 6 %  | 5 %  | 6 %  |
| octylphenol polyethoxylate<br>(7-8 mol EO) | -    | 1 %  | 2 %  | -    |
| highly dispersed silica                    | 1 %  | 3 %  | 5 %  | 10 % |
| kaolin                                     | 88 % | 62 % | 35 % | -    |

The compound mixture is thoroughly mixed with the adjuvants and this mixture is ground in a suitable mill to give wettable powders which can be diluted with water to give suspensions of any desired concentration.

F4. Coated granulates

|   | a)     | b)   | c)   |
|---|--------|------|------|
| compound mixture  | 0.1 %  | 5 %  | 15 % |
| highly dispersed silica   | 0.9 %  | 2 %  | 2 %  |
| inorganic carrier<br>(Ø 0.1-1 mm)<br>e.g. CaCO <sub>3</sub> or SiO <sub>2</sub> | 99.0 % | 93 % | 83 % |

The compound mixture is dissolved in methylene chloride, the solution is sprayed on to the carrier, and the solvent is removed under vacuum.

F5. Coated granulates

|   | a)     | b)   | c)   |
|---|--------|------|------|
| compound mixture  | 0.1 %  | 5 %  | 15 % |
| polyethylene glycol 200   | 1.0 %  | 2 %  | 3 %  |
| highly dispersed silica   | 0.9 %  | 1 %  | 2 %  |
| inorganic carrier<br>(Ø 0.1 - 1 mm)<br>e.g. CaCO <sub>3</sub> or SiO <sub>2</sub> | 98.0 % | 92 % | 80 % |

The finely ground compound mixture is uniformly applied in a mixer to the kaolin moistened with polyethylene glycol. Non-dusty coated granulates are obtained in this manner.

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**F6. Extruder granulates**

|                         | a)     | b)   | c)   | d)   |
|-------------------------|--------|------|------|------|
| compound mixture        | 0.1 %  | 3 %  | 5 %  | 15 % |
| sodium ligninsulfonate  | 1.5 %  | 2 %  | 3 %  | 4 %  |
| carboxymethyl cellulose | 1.4 %  | 2 %  | 2 %  | 2 %  |
| kaolin                  | 97.0 % | 93 % | 90 % | 79 % |

The compound mixture is mixed with the adjuvants and the mixture is moistened with water. This mixture is extruded and then dried in a stream of air.

**F7. Dusts**

|                  | a)     | b)   | c)   |
|------------------|--------|------|------|
| compound mixture | 0.1 %  | 1 %  | 5 %  |
| talcum           | 39.9 % | 49 % | 35 % |
| kaolin           | 60.0 % | 50 % | 60 % |

Ready for use dusts are obtained by mixing the the active ingredient with the carriers on a suitable mill.

**F8. Suspension concentrates**

|   | a)    | b)    | c)    | d)    |
|---|-------|-------|-------|-------|
| compound mixture                          | 3 %   | 10 %  | 25 %  | 50 %  |
| ethylene glycol                           | 5 %   | 5 %   | 5 %   | 5 %   |
| nonylphenol polyethoxylate<br>(15 mol EO) | -     | 1 %   | 2 %   | -     |
| sodium ligninsulfonate                    | 3 %   | 3 %   | 4 %   | 5 %   |
| carboxymethyl cellulose                   | 1 %   | 1 %   | 1 %   | 1 %   |
| 37% aqueous formaldehyde<br>solution      | 0.2 % | 0.2 % | 0.2 % | 0.2 % |
| silicone oil emulsion                     | 0.8 % | 0.8 % | 0.8 % | 0.8 % |
| water                                     | 87 %  | 79 %  | 62 %  | 38 %  |

The finely ground compound mixture is intimately mixed with the adjuvants to give a suspension concentrate from which suspensions of any desired concentration can be prepared by dilution with water.

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The following Examples illustrate the ability of the safeners of formula IIa, IIb or IIb<sub>1</sub> to protect cultivated plants from the phytotoxic action of herbicides of formula I.

### Biological Examples

Examples B1 to B6: The test plants are raised under greenhouse conditions in plastic pots to the 2- to 3-leaf stage. The growth substrate is standard soil. To the test plants are applied at this stage on the one hand the herbicidal composition by itself and, on the other hand, the mixtures of the herbicidal composition with the substances to be tested as safeners. Application is made with an aqueous suspension of the test substances, prepared from a 25% wettable powder formulation (Example F3, b)) in 500 l of water/ha, with a standard spray nozzle. The rates of application are governed by the optimum rates determined according to field and greenhouse conditions. 3 weeks after application, the phytotoxic action of the herbicidal composition on the cultivated plants, e.g. maize and cereals, is evaluated on a percentage scale. 100 % = plant withered, 0 % = no phytotoxic action.

The results obtained in this test show that the damage caused by the herbicidal composition of formula I can be markedly reduced with the compounds of formula IIa, IIb and IIb<sub>1</sub>. The following Examples demonstrate this effect in cereals and maize.

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**Table B1:** Phytotoxic action of herbicide 1.010 singly and in admixture with safener 16.01 in cereals; postemergence application.

|               | Phytotoxic action:<br>herbicide 1.010<br>AS [g/ha] |     | herbicide 1.010<br>+<br>safener 16.01<br>AS [g/ha] |     |
|---------------|--|-----|--|-----|
|               | 250  | 125 | 250  | 125 |
|               |  |     | +  | +   |
|               |  |     | 125  | 60  |
| test plants:  |  |     |  |     |
| winter barley | 80   | 50  | 30   | 5   |
| summer wheat  | 60   | 30  | 0  | 0   |
| winter wheat  | 0  | 0   | 0  | 0   |
| Apera         | 90   | 80  | 95   | 90  |
| Alopecurus    | 80   | 40  | 50   | 30  |
| Avena         | 90   | 70  | 95   | 60  |
| Bromus        | 95   | 70  | 40   | 10  |
| Lolium        | 98   | 98  | 100  | 100 |

**Table B2:** Phytotoxic action of herbicide 1.157, singly and in admixture with safener 16.01 in cereals; postemergence application.

|               | Phytotoxic action:<br>herbicide 1.157<br>AS [g/ha] |     | herbicide 1.157<br>+<br>safener 16.01<br>AS [g/ha] |     |
|---------------|--|-----|--|-----|
|               | 250  | 125 | 250  | 125 |
|               |  |     | +  | +   |
|               |  |     | 125  | 60  |
| test plants:  |  |     |  |     |
| winter barley | 80   | 70  | 30   | 10  |
| summer wheat  | 70   | 70  | 40   | 30  |



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|              |     |    |     |     |
|--------------|-----|----|-----|-----|
| winter wheat | 60  | 50 | 15  | 15  |
| Apera        | 95  | 90 | 95  | 90  |
| Alopecurus   | 98  | 98 | 98  | 98  |
| Avena        | 100 | 98 | 100 | 98  |
| Bromus       | 90  | 90 | 70  | 30  |
| Lolium       | 98  | 98 | 100 | 100 |

**Table B3:** Phytotoxic action of herbicide 15.067, singly and in admixture with safener 16.01 in cereals; postemergence application.

|               | Phytotoxic action:<br>herbicide 15.067<br>AS [g/ha] |     | herbicide 15.067<br>+<br>safener 16.01<br>AS [g/ha] |     |
|---------------|---|-----|---|-----|
|               | 250   | 125 | 250   | 125 |
| test plants:  |   |     | +   | +   |
|               |   |     | 125   | 60  |
| winter barley | 10  | 0   | 10  | 5   |
| summer wheat  | 30  | 15  | 0   | 0   |
| winter wheat  | 0   | 0   | 0   | 0   |
| Apera         | 90  | 80  | 80  | 60  |
| Alopecurus    | 60  | 30  | 30  | 10  |
| Avena         | 90  | 90  | 40  | 30  |
| Bromus        | 70  | 70  | 0   | 0   |
| Lolium        | 98  | 95  | 95  | 90  |

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**Table B4:** Phytotoxic action of herbicide 1.010, singly and in admixture with safener 16.01 in maize; postemergence application.

|              | Phytotoxic action:<br>herbicide 1.010<br>AS [g/ha] |     | herbicide 1.010<br>+<br>safener 16.01<br>AS [g/ha] |     |
|--------------|--|-----|--|-----|
|              | 250  | 125 | 250  | 125 |
| test plants: |  |     | +  | +   |
|              |  |     | 250  | 125 |
| maize        | 75   | 70  | 30   | 20  |
| Digitaria    | 100  | 95  | 100  | 98  |
| Echinochloa  | 100  | 90  | 100  | 90  |
| Panicum      | 100  | 100 | 100  | 100 |
| Setaria      | 90   | 70  | 90   | 75  |

**Table B5:** Phytotoxic action of herbicide 1.157, singly and in admixture with safener 16.01 in maize; postemergence application.

|              | Phytotoxic action:<br>herbicide 1.157<br>AS [g/ha] |     | herbicide 1.157<br>+<br>safener 16.01<br>AS [g/ha] |     |
|--------------|--|-----|--|-----|
|              | 125  | 60  | 125  | 60  |
| test plants: |  |     | +  | +   |
|              |  |     | 125  | 60  |
| maize        | 95   | 90  | 45   | 10  |
| Digitaria    | 100  | 90  | 100  | 90  |
| Echinochloa  | 100  | 100 | 100  | 100 |

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|         |     |     |     |     |
|---------|-----|-----|-----|-----|
| Panicum | 100 | 100 | 100 | 100 |
| Setaria | 75  | 40  | 30  | 20  |

**Table B6:** Phytotoxic action of herbicide 15.067, singly and in admixture with safener 16.01 in maize; postemergence application.

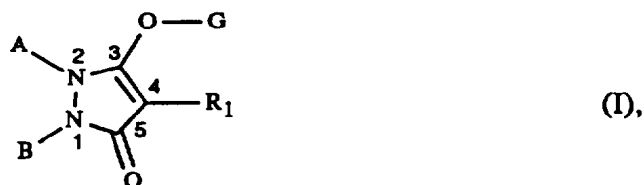
|              | Phytotoxic action:<br>herbicide 15.067<br>AS [g/ha] |    | herbicide 15.067<br>+<br>safener 16.01<br>AS [g/ha] |    |
|--------------|---|----|---|----|
|              | 125   | 60 | 125   | 60 |
| test plants: |   |    | +   | +  |
|              |   |    | 125   | 60 |
| maize        | 75  | 35 | 10  | 0  |
| Digitaria    | 95  | 90 | 90  | 60 |
| Echinochloa  | 90  | 70 | 90  | 70 |
| Panicum      | 100   | 90 | 100   | 80 |
| Setaria      | 80  | 50 | 85  | 40 |

The same results are obtained by formulating the mixtures in accordance with Examples F1, F2 and F4 to F8.

What is claimed is:

1. A selective herbicidal composition comprising, in addition to customary inert formulation assistants, a mixture of

a) a herbicidally effective amount of a herbicide of formula I



wherein

$R_1$  is the or  $(R_4)_n$  group;

the substituents  $R_4$  are each independently of one another halogen, nitro, cyano,  $C_1$ - $C_4$ alkyl,  $C_1$ - $C_4$ haloalkyl,  $C_1$ - $C_{10}$ alkoxy,  $C_1$ - $C_4$ haloalkoxy,  $C_3$ - $C_6$ alkenyloxy,  $C_1$ - $C_4$ alkoxy- $C_2$ - $C_4$ alkoxy,  $C_3$ - $C_6$ alkynyloxy,  $C_1$ - $C_4$ alkylcarbonyl,  $C_1$ - $C_4$ alkoxycarbonyl,  $C_1$ - $C_4$ alkylthio,  $C_1$ - $C_4$ alkylsulfinyl,  $C_1$ - $C_4$ alkylsulfonyl, amino,  $C_1$ - $C_4$ alkylamino or di- $C_1$ - $C_4$ alkylamino;

$R_5$  is the or  $(R_6)_q$  group;

$n$  is 0, 1, 2, 3 or 4;

$m$  is 0 or 1, the sum of  $m$  and  $n$  being 0, 1, 2, 3 or 4;

$q$  is 0, 1, 2 or 3;

$X_1$  is oxygen, sulfur,  $-CH_2-$  or  $-N(R_7)-$ ;

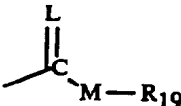
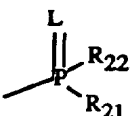
the substituents  $R_6$  are each independently of one another  $C_1$ - $C_4$ alkyl, halogen,  $C_1$ - $C_4$ haloalkyl,  $C_1$ - $C_4$ alkoxy,  $C_1$ - $C_4$ haloalkoxy, nitro, cyano,  $C_1$ - $C_4$ alkoxycarbonyl, amino,  $C_1$ - $C_4$ alkylamino or di- $C_1$ - $C_4$ alkylamino;

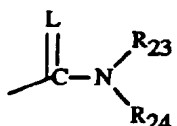
$R_7$  is hydrogen,  $C_1$ - $C_4$ alkyl, formyl or  $C_1$ - $C_4$ alkylcarbonyl;

A and B are each independently of the other hydrogen, alkyl, alkenyl, alkynyl, alkoxyalkyl, alkylthioalkyl or cycloalkyl, or substituted or unsubstituted aryl; or

A and B, taken together, form the divalent radical of a saturated or unsaturated and unsubstituted or substituted mono-, bi-, tri- or polycyclic system;

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G is hydrogen or a group  $-\text{CO}-\text{R}_{18}$  (a),  (b),  $-\text{SO}_2-\text{R}_{20}$  (c),  (d),

 (e) or X (f);

L and M are each independently of the other oxygen or sulfur;

$\text{R}_{18}$  is halogen-substituted alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, polyalkoxyalkyl or cycloalkyl, which may contain hetero atoms; unsubstituted or substituted phenyl, unsubstituted or substituted phenylalkyl, substituted heteroaryl, substituted phenoxyalkyl, or substituted heteroaryloxyalkyl;

$\text{R}_{19}$  is halogen-substituted alkyl, alkenyl, alkoxyalkyl or polyalkoxyalkyl, or unsubstituted or substituted phenyl or benzyl;

$\text{R}_{20}$ ,  $\text{R}_{21}$  and  $\text{R}_{22}$  are each independently of one another unsubstituted or halogen-substituted alkyl, alkoxy, alkylamino, dialkylamino, alkylthio, alkenylthio, alkynylthio or cycloalkylthio, or unsubstituted or substituted phenyl, phenoxy or phenylthio;

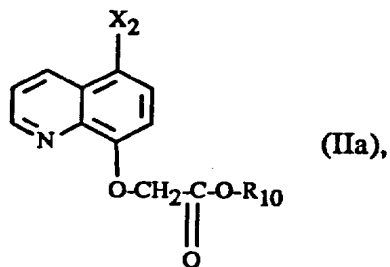
$\text{R}_{23}$  and  $\text{R}_{24}$  are each independently of the other hydrogen, unsubstituted or halogen-substituted alkyl, alkenyl, alkoxy or alkoxyalkyl, unsubstituted or substituted phenyl or benzyl; or

$\text{R}_{23}$  and  $\text{R}_{24}$ , taken together, form an alkenyl radical, which may contain oxygen as hetero atom; and

X is a metal ion equivalent or an ammonium ion;

as well as salts and diastereoisomers of the compounds of formula I; and

b) to antagonise the herbicide, an antidotally effective amount of either a quinoline derivative of formula IIa



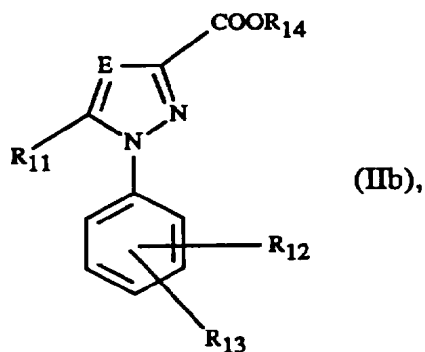
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wherein

$R_{10}$  is hydrogen,  $C_1$ - $C_8$ alkyl, or  $C_1$ - $C_8$ alkyl which is substituted by  $C_1$ - $C_6$ alkoxy or  $C_3$ - $C_6$ alkenyloxy; and

$X_2$  is hydrogen or chloro;

of a 1-phenylazole-3-carboxylic acid derivative of formula IIb



wherein

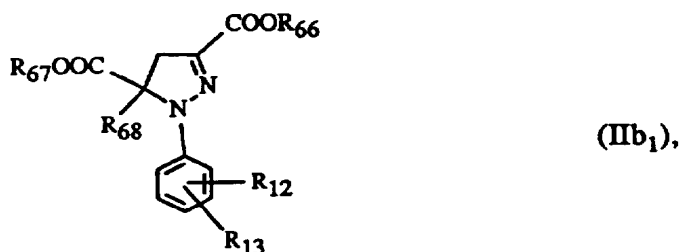
E is nitrogen or methine;

$R_{11}$  is  $-CCl_3$  or unsubstituted or halogen-substituted phenyl;

$R_{12}$  and  $R_{13}$  are each independently of the other hydrogen or halogen; and

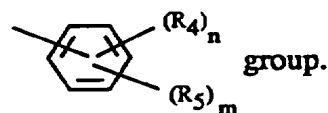
$R_{14}$  is  $C_1$ - $C_4$ alkyl; or

of a compound of formula IIb<sub>1</sub>



wherein  $R_{12}$  and  $R_{13}$  have the meanings given above, and  $R_{66}$ ,  $R_{67}$  and  $R_{68}$  are each independently of one another  $C_1$ - $C_4$ alkyl.

2. A composition according to claim 1, wherein  $R_1$  is the



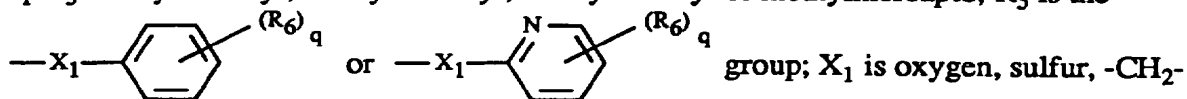
- 101 -

3. A composition according to claim 2, wherein  $R_4$  is  $C_1$ - $C_4$ alkyl;  $n$  is 1, 2, 3 or 4; and  $m$  is 0.

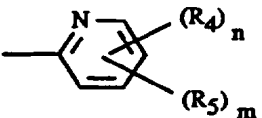
4. A composition according to claim 2, wherein  $R_5$  is the  group.

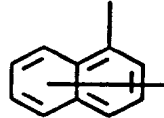
5. A composition according to claim 2, wherein  $R_4$  is  $C_1$ - $C_4$ alkyl, trifluoromethyl, fluoro, chloro, bromo,  $C_1$ - $C_{10}$ alkoxy, allyloxy, propargyloxy, difluoromethoxy, trifluoromethoxy, methoxyethylenoxy, cyano, nitro, di- $C_1$ alkylamino or di- $C_2$ alkylamino, acetyl,

$C_1$ - $C_3$ alkoxycarbonyl, methylsulfonyl, methylsulfinyl or methylmercapto;  $R_5$  is the

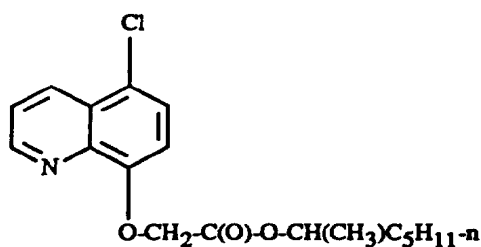


or  $-N(CHO)-$ ;  $R_6$  is fluoro, chloro or trifluoromethyl;  $n$  is 0, 1, 2 or 3;  $m$  is 0 or 1; and  $o$  is 0, 1 or 2, the sum of  $m$  and  $n$  being 0, 1, 2 or 3.

6. A composition according to claim 1, wherein  $R_1$  is the  group;  $R_4$  is fluoro, chloro, methyl, nitro, trifluoromethyl or methylsulfonyl;  $n$  is 0, 1 or 2; and  $m$  is 0.

7. A composition according to claim 1, wherein  $R_1$  is the  group;  $R_4$  is methyl, methoxy, fluoro, chloro or nitro; and  $n$  is 0 or 1.

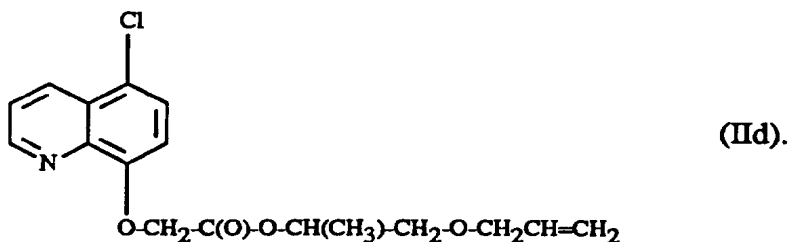
8. A composition according to claim 1, wherein the safener is a compound of formula IIc



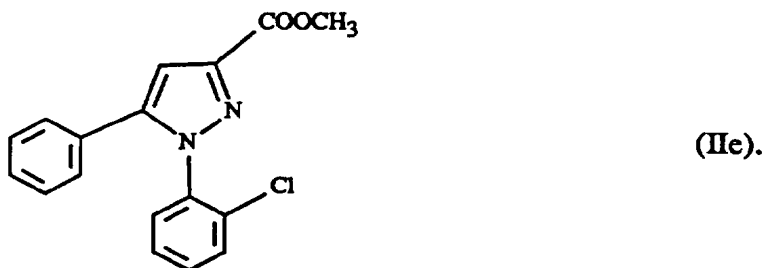
(IIc).

9. A composition according to claim 1, wherein the safener is a compound of formula II d

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10. A composition according to claim 1, wherein the safener is a compound of formula IIe



11. A method of selectively controlling weeds and grasses in crops of cultivated plants, the seeds or the locus thereof, concurrently or separately, with an effective amount of a herbicide of formula I as claimed in claim 1 and, to antagonise said herbicide, an antidotally effective amount of a safener of formula IIa, IIb or IIb<sub>1</sub> as claimed in claim 1.

12. A method according to claim 11, which comprises treating crops of cultivated plants or areas intended for cropping with cultivated plants, with 0.001 to 2 kg/ha of a herbicide of formula I and an amount of 0.001 to 0,5 kg/ha of a safener of formula IIa, IIb or IIb<sub>1</sub>.

13. A method according to claim 11, wherein the cultivated plants are maize and cereals.



# INTERNATIONAL SEARCH REPORT

Internal Application No  
PC, EP 95/03935

A. CLASSIFICATION OF SUBJECT MATTER  
IPC 6 A01N43/90 A01N43/56 A01N25/32

According to International Patent Classification (IPC) or to both national classification and IPC.

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
IPC 6 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages   | Relevant to claim No. |
|------------|--|-----------------------|
| A          | WO,A,92 16510 (CIBA-GEIGY) 1 October 1992<br>cited in the application<br>----        |                       |
| A          | EP,A,0 508 126 (BAYER) 14 October 1992<br>cited in the application<br>----           |                       |
| A          | EP,A,0 094 349 (CIBA-GEIGY) 16 November<br>1983<br>cited in the application<br>----- |                       |

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents :

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Date of the actual completion of the international search

29 January 1996

Date of mailing of the international search report

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# INTERNATIONAL SEARCH REPORT

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